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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	3	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	5	JUL 28	STN Viewer performance improved
NEWS	6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	7	AUG 13	CA/CAPLUS enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	9	AUG 15	CAPLUS currency for Korean patents enhanced
NEWS	10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	12	SEP 25	CA/CAPLUS current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS	13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS	14	SEP 29	IFICLS enhanced with new super search field
NEWS	15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS	16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese- language patents
NEWS	17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS	18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS	19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS	20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS EXPRESS	JUNE 27 08		CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:19:37 ON 20 NOV 2008

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TOTAL

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SESSION

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 19 NOV 2008 HIGHEST RN 1073427-79-8

DICTIONARY FILE UPDATES: 19 NOV 2008 HIGHEST RN 1073427-79-8

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

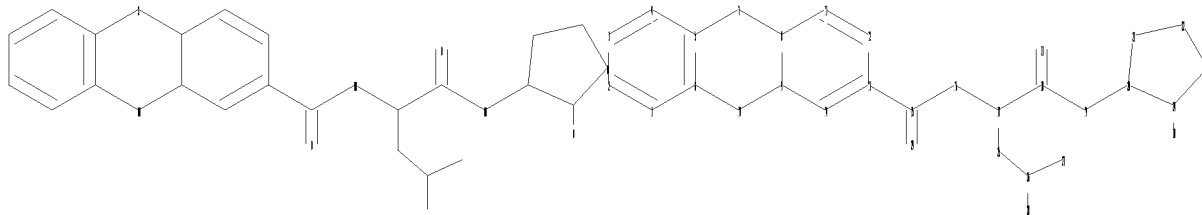
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10594960 generic.str



chain nodes :

15 16 17 18 19 25 26 27 28 29 30 31

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 20 21 22 23 24

chain bonds :

13-15 15-16 15-29 16-17 17-18 17-25 18-19 18-31 19-20 24-30 25-26 26-27  
 26-28  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 8-11 9-10 9-14 11-12 12-13  
 13-14 20-21 20-24 21-22 22-23 23-24  
 exact/norm bonds :  
 5-7 6-10 7-8 8-9 8-11 9-10 9-14 11-12 12-13 13-14 15-16 15-29 16-17  
 18-19 18-31 19-20 20-21 20-24 21-22 22-23 23-24 24-30  
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 normalized bonds :  
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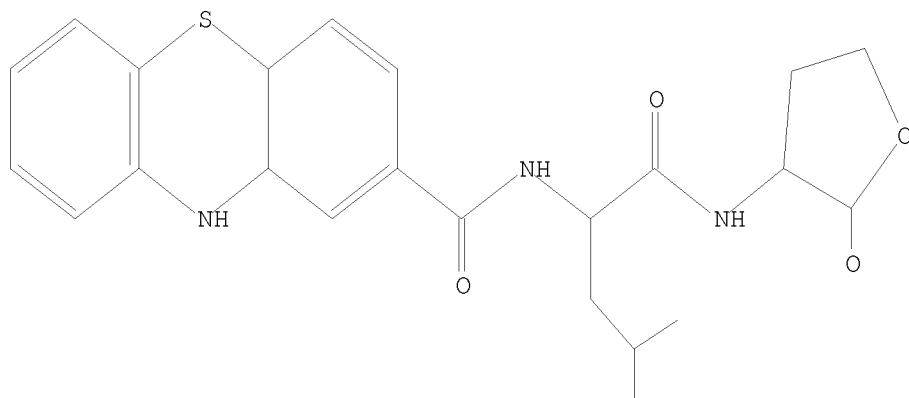
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 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS  
 19:CLASS 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:CLASS 26:CLASS 27:CLASS  
 28:CLASS 29:CLASS 30:CLASS 31:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 14:20:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0  
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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100.0% PROCESSED 31 ITERATIONS 15 ANSWERS  
SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 20 Nov 2008 VOL 149 ISS 21  
FILE LAST UPDATED: 19 Nov 2008 (20081119/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l3  
L4 8 L3

=> d l4 1-8 ibib abs hitstr

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:1022710 CAPLUS  
DOCUMENT NUMBER: 147:357234  
TITLE: Composition containing amidine derivatives or carboxamide derivatives and steroids, as a medicament  
INVENTOR(S): Pignol, Bernadette; Auvin, Serge; Bigg, Dennis; Chabrier de Lassauniere, Pierre-Etienne  
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.  
SOURCE: PCT Int. Appl., 42pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007101937	A1	20070913	WO 2007-FR390	20070306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM FR 2898274 A1 20070914 FR 2006-2000 20060307 FR 2898274 B1 20081003 PRIORITY APPLN. INFO.: FR 2006-2000 A 20060307 OTHER SOURCE(S): MARPAT 147:357234				

AB The present invention relates to a composition containing at least one amidine derivative or carboxamide derivative (Markush included) in combination with at least one compound chosen from steroids, corticoids or corticosteroids, wherein the composition is suitable for the preparation of a medicament.

Compound

preparation is included.

IT 339007-48-6 339007-48-6D, salts 339007-76-0  
 339007-76-0D, salts 742104-24-1 742104-24-1D,  
 salts 866006-13-5 866006-13-5D, salts  
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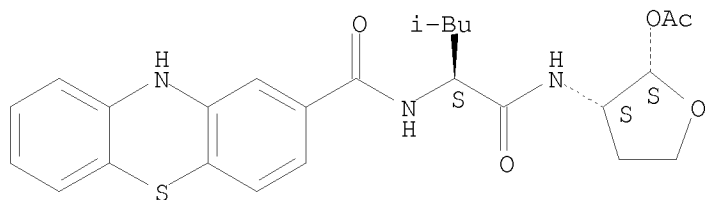
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(amidine derivative or carboxamide derivative combination with steroid for  
 therapeutic)

RN 339007-48-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(2S,3S)-2-(  
 (acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX  
 NAME)

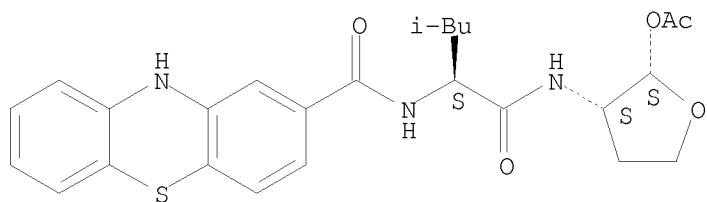
Absolute stereochemistry.



RN 339007-48-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(2S,3S)-2-(  
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 NAME)

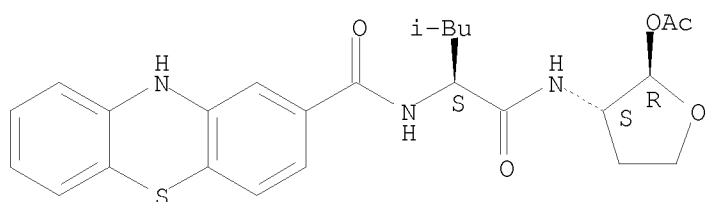
Absolute stereochemistry.



RN 339007-76-0 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(2R,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

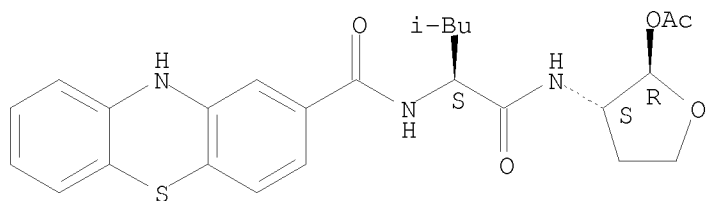
Absolute stereochemistry.



RN 339007-76-0 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(2R,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

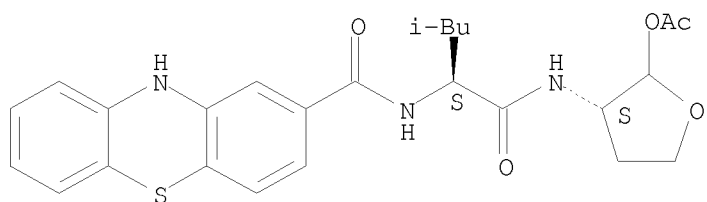
Absolute stereochemistry.



RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

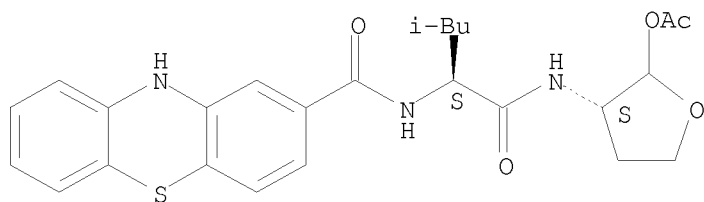
Absolute stereochemistry.



RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

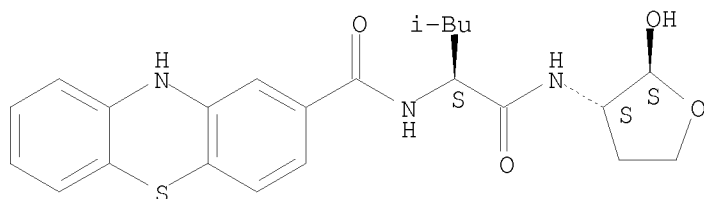
Absolute stereochemistry.



RN 866006-13-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

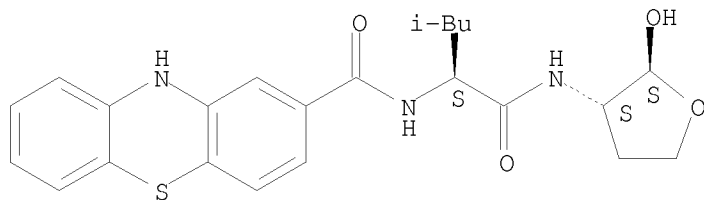
Absolute stereochemistry.



RN 866006-13-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

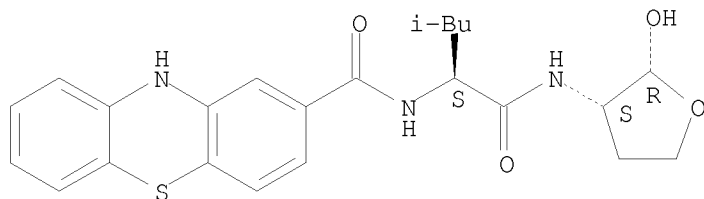
Absolute stereochemistry.



RN 866006-14-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2R,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

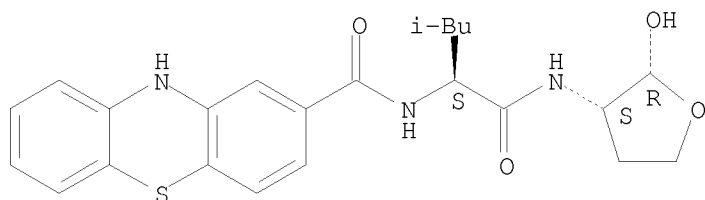
Absolute stereochemistry.



RN 866006-14-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2R,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

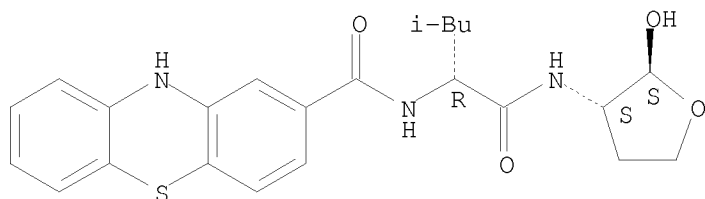
Absolute stereochemistry.



RN 866006-16-8 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

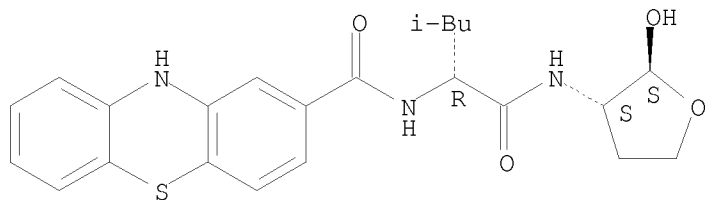
Absolute stereochemistry.



RN 866006-16-8 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

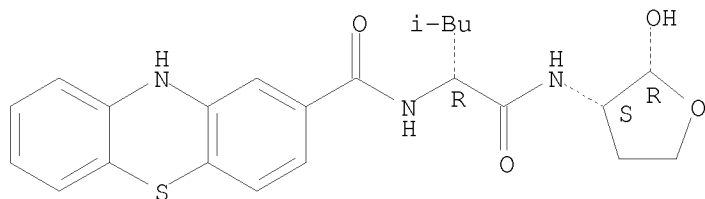
Absolute stereochemistry.



RN 866006-17-9 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2R,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

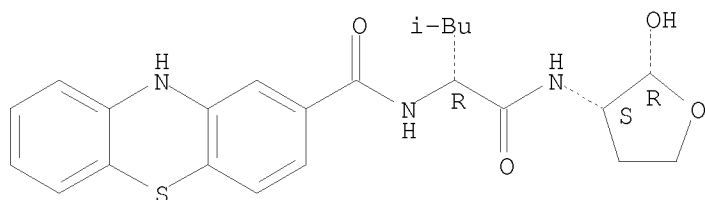


RN 866006-17-9 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2R,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.





REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:452302 CAPLUS

DOCUMENT NUMBER: 147:64455

TITLE: A novel dual inhibitor of calpains and lipid peroxidation (BN82270) rescues the cochlea from sound trauma

AUTHOR(S): Wang, Jing; Pignol, Bernadette; Chabrier, Pierre-Etienne; Saido, Takaomi; Lloyd, Ruth; Tang, Yong; Lenoir, Marc; Puel, Jean-Luc

CORPORATE SOURCE: Laboratoire de Physiopathologie et Therapie des Deficits Sensoriels et Moteurs, INSERM U583, Montpellier, Fr.

SOURCE: Neuropharmacology (2007), 52(6), 1426-1437

CODEN: NEPHBW; ISSN: 0028-3908

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Free radical and calcium buffering mechanisms are implicated in cochlear cell damage that has been induced by sound trauma. Thus in this study we evaluated the therapeutic effect of a novel dual inhibitor of calpains and of lipid peroxidn. (BN 82270) on the permanent hearing and hair cell loss induced by sound trauma. Perfusion of BN 82270 into the scala tympani of the guinea pig cochlea prevented the formation of calpain-cleaved fodrin, translocation of cytochrome c, DNA fragmentation and hair cell degeneration caused by sound trauma. This was confirmed by functional tests in vivo, showing a clear dose-dependent reduction of permanent hearing loss (ED50 = 4.07  $\mu$ M) with almost complete protection at 100  $\mu$ M. Furthermore, BN82270 still remained effective even when applied onto the round window membrane after sound trauma had occurred, within a therapeutic window of 24 h. This indicates that BN 82270 may be of potential therapeutic value in treating the cochlea after sound trauma.

IT 742104-24-1, BN 82270

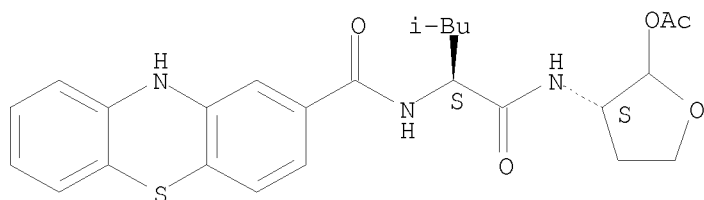
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(novel dual inhibitor of calpains and lipid peroxidn. (BN82270) rescues the cochlea from sound trauma)

RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:325817 CAPLUS

DOCUMENT NUMBER: 146:372657

TITLE: Calpain inhibitors and antioxidants act synergistically to prevent cell necrosis: effects of the novel dual inhibitors (cysteine protease inhibitor and antioxidant) BN 82204 and its pro-drug BN 82270. [Erratum to document cited in CA146:075148]

AUTHOR(S): Pignol, Bernadette; Auvin, Serge; Carre, Denis; Marin, Jean-Gregoire; Chabrier, Pierre-Etienne

CORPORATE SOURCE: Department of Neurobiology, Ipsen Research Laboratories, Les Ulis, Fr.

SOURCE: Journal of Neurochemistry (2007), 100(5), 1430  
CODEN: JONRA9; ISSN: 0022-3042

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB On page 1224, right column, second full paragraph, line 2, "BHT-PD150606" should read: "BHT+PD150606". On page 1224, right column, second full paragraph, line 2, "BHT++calpeptin" should read: "BHT+calpeptin". On page 1224, right column, second full paragraph, line 3, "4-hydroxydiphenylamine++Z-Leu-Leu-H" should read: "4-hydroxydiphenylamine+Z-Leu-Leu-H". On page 1224, right column, second full paragraph, line 3, "BHT-Z-Leu-Leu-H" should read: "BHT+Z-Leu-Leu-H".

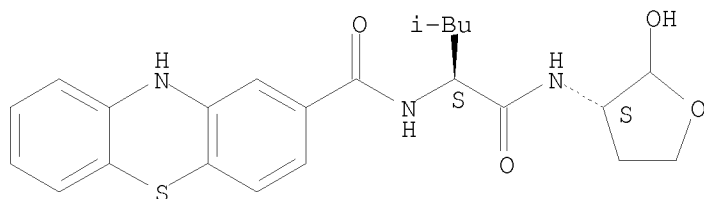
IT 339007-47-5, BN 82204 742104-24-1, BN 82270

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(use of calpain inhibitors and antioxidants in combination or single BN 82204 and its pro-drug BN 82270 with multiple activities to prevent cell necrosis (Erratum))

RN 339007-47-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

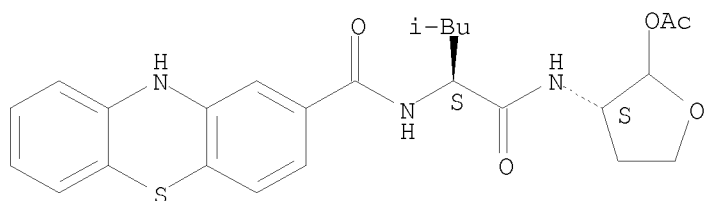
Absolute stereochemistry.



RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1135981 CAPLUS

DOCUMENT NUMBER: 146:75148

TITLE: Calpain inhibitors and antioxidants act synergistically to prevent cell necrosis: effects of the novel dual inhibitors (cysteine protease inhibitor and antioxidant) BN 82204 and its pro-drug BN 82270

AUTHOR(S): Pignol, Bernadette; Auvin, Serge; Carre, Denis; Marin, Jean-Gregoire; Chabrier, Pierre-Etienne

CORPORATE SOURCE: Department of Neurobiology, Ipsen Research Laboratories, Les Ulis, Fr.

SOURCE: Journal of Neurochemistry (2006), 98(4), 1217-1228  
CODEN: JONRA9; ISSN: 0022-3042

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cell death is a common feature observed in neurodegenerative disorders, and is often associated with calpain activation and overprod. of reactive oxygen species (ROS). This study investigated the use of calpain inhibitors and antioxidants in combination to protect cells against necrosis. Maitotoxin (MTX), which induces a massive influx of calcium, was used to provoke neuronal cell death. This toxin increased, in a concentration-dependent manner,

both calpain activity and ROS formation. Calpain inhibitors or antioxidants inhibited MTX-induced necrosis only marginally (below 20%), whereas their association protected against cell death by 40-66% in a synergistic manner. BN 82204, which possesses both calpain-cathepsin L inhibitory and antioxidant properties, and its acetylated pro-drug BN 82270, totally protected cells at 100  $\mu$ M. The pro-drug BN 82270, which had better cell penetration, was twice as effective as the active principle BN 82204 in protecting glioma C6 or neuroblastoma SHSY5Y cells against death. These results suggest the potential therapeutic relevance of using a single mol. with multiple activities (cysteine protease inhibitor/antioxidant), and warrant further in vivo investigations in models of neuronal disorders.

IT 339007-47-5, BN 82204 742104-24-1, BN 82270

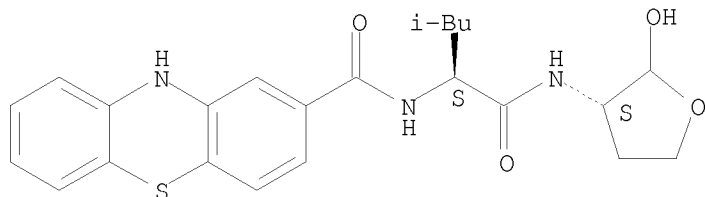
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of calpain inhibitors and antioxidants in combination or single BN 82204 and its pro-drug BN 82270 with multiple activities to prevent cell necrosis)

RN 339007-47-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

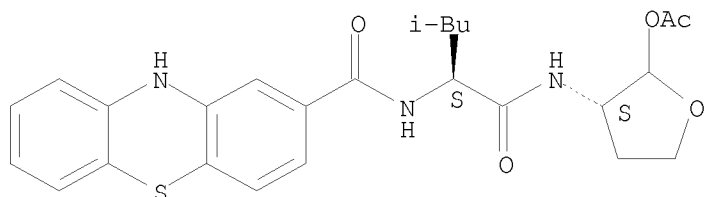
Absolute stereochemistry.



RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:583794 CAPLUS

DOCUMENT NUMBER: 145:116788

TITLE: Treatment of rats with calpain inhibitors prevents sepsis-induced muscle proteolysis independent of atrogen-1/MAFbx and MuRF1 expression

AUTHOR(S): Fareed, Moin U.; Evenson, Amy R.; Wei, Wei; Menconi, Michael; Poylin, Vitaliy; Petkova, Victoria; Pignol, Bernadette; Hasselgren, Per-Olof

CORPORATE SOURCE: Department of Surgery, Harvard Medical School, Boston, MA, USA

SOURCE: American Journal of Physiology (2006), 290(6, Pt. 2), R1589-R1597

CODEN: AJPHAP; ISSN: 0002-9513

PUBLISHER: American Physiological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Muscle wasting in sepsis is a significant clin. problem because it results in muscle weakness and fatigue that may delay ambulation and increase the risk for thromboembolic and pulmonary complications. Treatments aimed at preventing or reducing muscle wasting in sepsis, therefore, may have important clin. implications. Recent studies suggest that sepsis-induced muscle proteolysis may be initiated by calpain-dependent release of myofilaments from the sarcomere, followed by ubiquitination and degradation of the myofilaments by the 26S proteasome. In the present expts., treatment of rats with one of the calpain inhibitors calpeptin or BN82270 inhibited protein breakdown in muscles from rats made septic by cecal ligation and puncture. The inhibition of protein breakdown was not accompanied by reduced expression of the ubiquitin ligases atrogen-1/MAFbx and MuRF1, suggesting that the ubiquitin-proteasome system is regulated independent of the calpain system in septic muscle. When incubated muscles were treated in vitro with calpain inhibitor, protein breakdown rates and calpain activity were reduced, consistent with a direct effect in skeletal muscle. Addnl. expts. suggested that the effects of BN82270 on muscle

protein breakdown may, in part, reflect inhibited cathepsin L activity, in addition to inhibited calpain activity. When cultured myoblasts were transfected with a plasmid expressing the endogenous calpain inhibitor calpastatin, the increased protein breakdown rates in dexamethasone-treated myoblasts were reduced, supporting a role of calpain activity in atrophying muscle. The present results suggest that treatment with calpain inhibitors may prevent sepsis-induced muscle wasting.

IT 742104-24-1, BN 82270

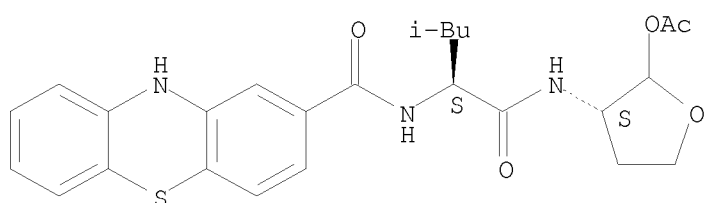
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of rats with calpain inhibitors prevents sepsis-induced muscle proteolysis independent of atrogin-1/MAFbx and MuRF1 expression)

RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1075636 CAPLUS

DOCUMENT NUMBER: 143:339689

TITLE: Use of a phenothiazine derivative for preventing and/or treating hearing loss

INVENTOR(S): Pignol, Bernadette; Puel, Jean-Luc; Auvin, Serge; Chabrier de Lassauniere, Pierre-Etienne; Wang, Jing  
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques S.C.R.A.S., Fr.

SOURCE: PCT Int. Appl., 33 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

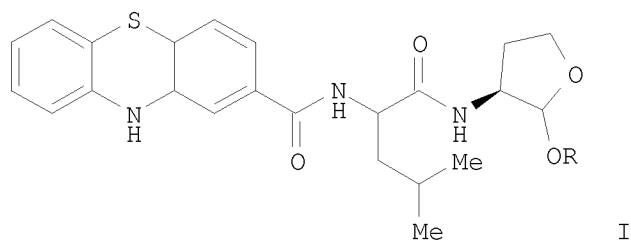
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092345	A1	20051006	WO 2005-FR713	20050325
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
FR 2867979	A1	20050930	FR 2004-3203	20040329
FR 2867979	B1	20060630		

CA 2560988	A1	20051006	CA 2005-2560988	20050325
EP 1732567	A1	20061220	EP 2005-744629	20050325
EP 1732567	B1	20081008		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1933840	A	20070321	CN 2005-80009139	20050325
JP 2007530640	T	20071101	JP 2007-505576	20050325
US 20080275034	A1	20081106	US 2006-594960	20060929
PRIORITY APPLN. INFO.:			FR 2004-3203	A 20040329
			FR 2004-6404	A 20040614
			WO 2005-FR713	W 20050325
OTHER SOURCE(S):			MARPAT 143:339689	
GI				



AB The invention discloses the use of a phenothiazine derivative I (R = H, alkyl, aralkyl, etc.) for preparing a medicine for preventing and/or treating hearing loss.

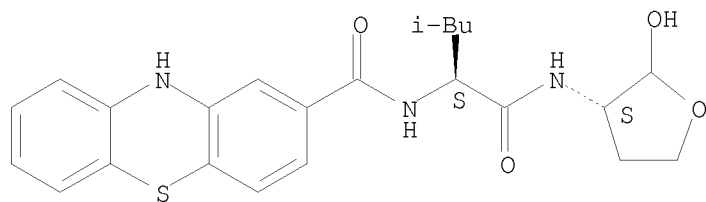
IT 339007-47-5 339007-47-5D, derivs. 742104-24-1  
866006-13-5 866006-13-5D, derivs. 866006-14-6  
866006-14-6D, derivs. 866006-15-7 866006-15-7D  
, derivs. 866006-16-8 866006-16-8D, derivs.  
866006-17-9 866006-17-9D, derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(phenothiazine derivs. for prevention and/or treatment of hearing loss)

RN 339007-47-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

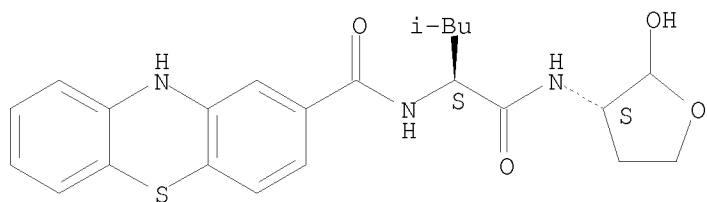
Absolute stereochemistry.



RN 339007-47-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

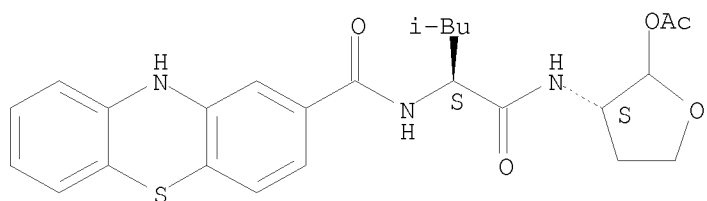
Absolute stereochemistry.



RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

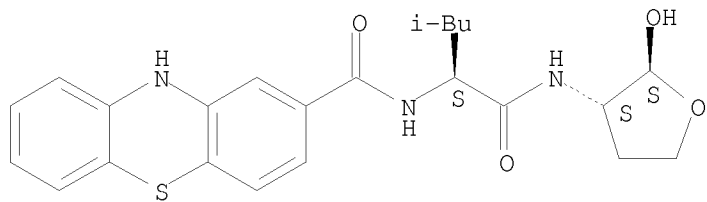
Absolute stereochemistry.



RN 866006-13-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

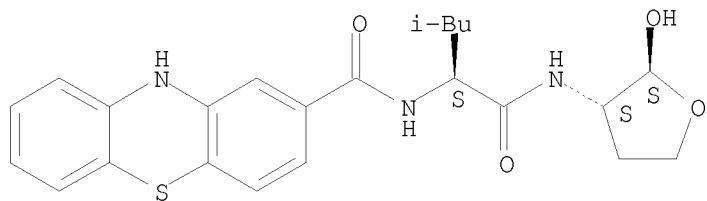
Absolute stereochemistry.



RN 866006-13-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

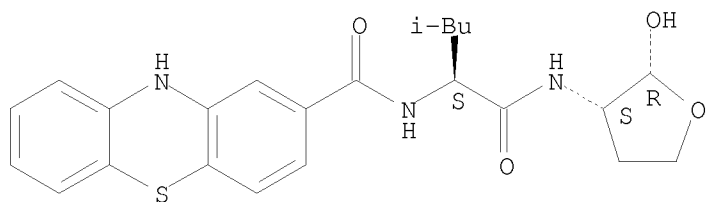
Absolute stereochemistry.



RN 866006-14-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2R,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

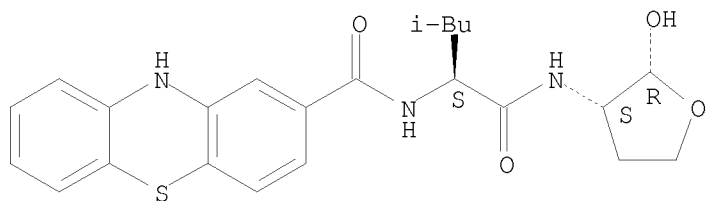
Absolute stereochemistry.



RN 866006-14-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(2R,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

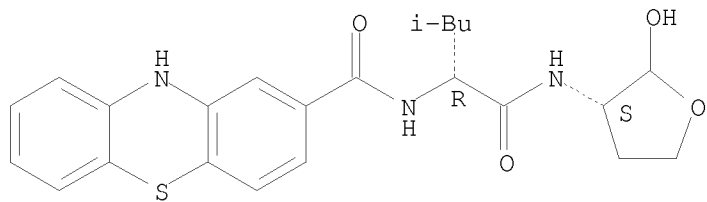
Absolute stereochemistry.



RN 866006-15-7 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

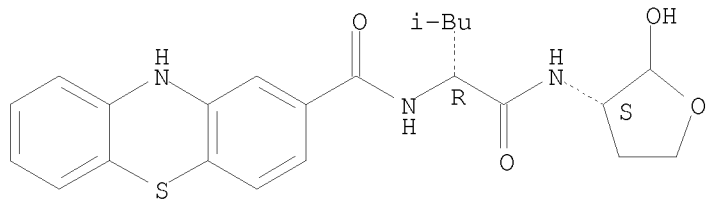
Absolute stereochemistry.



RN 866006-15-7 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

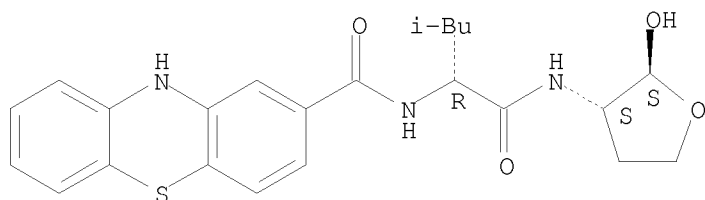


RN 866006-16-8 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.

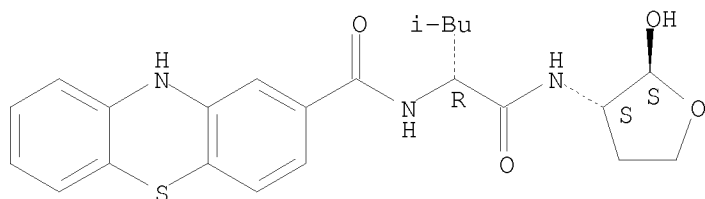




RN 866006-16-8 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2S,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

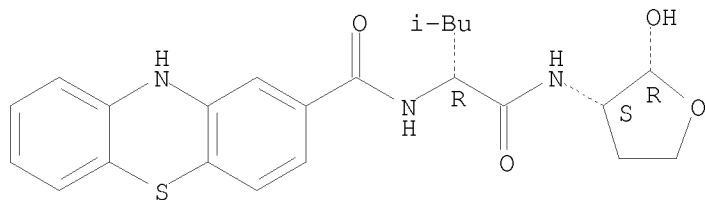
Absolute stereochemistry.



RN 866006-17-9 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2R,3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

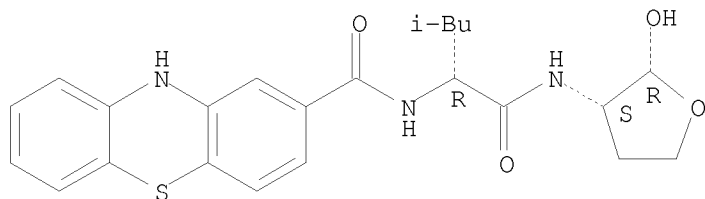
Absolute stereochemistry.



RN 866006-17-9 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1R)-3-methyl-1-[[[(2R,3R)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:498592 CAPLUS

DOCUMENT NUMBER: 141:207514

TITLE: Novel dual inhibitors of calpain and lipid

peroxidation

AUTHOR(S): Auvin, Serge; Pignol, Bernadette; Navet, Edith; Pons, Dominique; Marin, Jean-G.; Bigg, Dennis; Chabrier, Pierre-E.

CORPORATE SOURCE: Department of Medicinal Chemistry, Ipsen Research Laboratories, Institut Henri Beaufour, Les Ulis, 91966, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(14), 3825-3828  
CODEN: BMCLE8; ISSN: 0960-894X

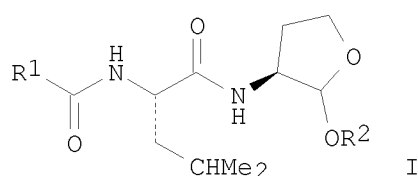
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:207514

GI



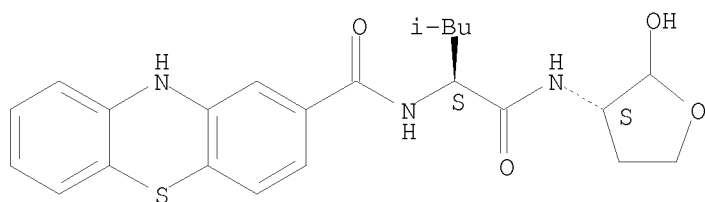
AB A series of mols. I (R1 = phenothiazin-1-yl, phenothiazin-2-yl, 1-benzyl-5-indolinylamino, etc., R2 = H; R1 = phenothiazin-2-yl, R2 = MeCO) with dual inhibitory activities on calpain and lipid peroxidn. were synthesized. These hybrid compds. were built on the calpain pharmacophore 2-hydroxytetrahydrofuran linked to a set of antioxidants via a L-leucine linker. I (R1 = phenothiazin-2-yl, R2 = MeCO), the most potent in cellular calpain and lipid peroxidn. inhibitions, provided effective protection against glial cell death induced by maitotoxin.

IT 339007-47-5P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of (peptidyl)(hydroxy)tetrahydrofurans as dual inhibitors of calpain and lipid peroxidn.)

RN 339007-47-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



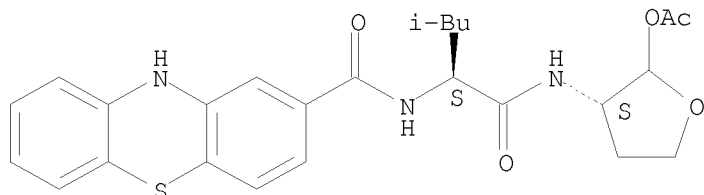
IT 742104-24-1P, BN 82270  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of (peptidyl)(hydroxy)tetrahydrofurans as dual inhibitors of calpain and lipid peroxidn.)

RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-

3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:338525 CAPLUS

DOCUMENT NUMBER: 134:353248

TITLE: Novel heterocyclic compounds and their use as medicines

INVENTOR(S): Auvin, Serge; Chabrier De Lassauniere, Pierre-Etienne

PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032654	A2	20010510	WO 2000-FR3067	20001103
WO 2001032654	A3	20010927		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
FR 2800737	A1	20010511	FR 1999-13858	19991105
FR 2800737	B1	20060630		
FR 2809398	A1	20011130	FR 2000-6535	20000523
FR 2809398	B3	20020726		
CA 2389685	A1	20010510	CA 2000-2389685	20001103
BR 2000015315	A	20020625	BR 2000-15315	20001103
EP 1233962	A2	20020828	EP 2000-974646	20001103
EP 1233962	B1	20060301		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
HU 2002003183	A2	20030228	HU 2002-3183	20001103
HU 2002003183	A3	20060228		
JP 2003513092	T	20030408	JP 2001-534805	20001103
NZ 518420	A	20040227	NZ 2000-518420	20001103
AU 781551	B2	20050526	AU 2001-12871	20001103
RU 2260009	C2	20050910	RU 2002-114696	20001103
AT 318809	T	20060315	AT 2000-974646	20001103
EP 1661564	A1	20060531	EP 2005-77194	20001103

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR

PT 1233962	T	20060731	PT 2000-974646	20001103
ES 2259617	T3	20061016	ES 2000-974646	20001103
CN 1317278	C	20070523	CN 2000-815926	20001103
US 6747024	B1	20040608	US 2002-111994	20020430
NO 2002002088	A	20020502	NO 2002-2088	20020502
MX 2002PA04442	A	20020902	MX 2002-PA4442	20020503
IN 2002MN00604	A	20050304	IN 2002-MN604	20020513
HK 1052706	A1	20070928	HK 2003-105058	20030714
US 20040180936	A1	20040916	US 2004-803387	20040316
AU 2005203713	A1	20050915	AU 2005-203713	20050818
PRIORITY APPLN. INFO.:			FR 1999-13858	A 19991105
			FR 2000-6535	A 20000523
			EP 2000-974646	A3 20001103
			WO 2000-FR3067	W 20001103
			US 2002-111994	A3 20020430

OTHER SOURCE(S): MARPAT 134:353248

AB Novel heterocyclic derivs. which have calpain inhibiting and/or reactive oxygen species trapping activity (no data) are reported. Thus, (R)-Trolox was treated with (S)-2-aminobutyrolactone hydrochloride, followed by DIBAL reduction to give (2R)-6-hydroxy-N-[(3S)-2-hydroxytetrahydrofuran-3-yl]-2,5,7,8-tetramethyl-3,4-dihydro-2H-chromene-2-carboxamide.

IT 339007-47-5P 339007-48-6P 339007-52-2P

339007-53-3P 339007-54-4P 339007-55-5P

339007-56-6P 339007-57-7P 339007-76-0P

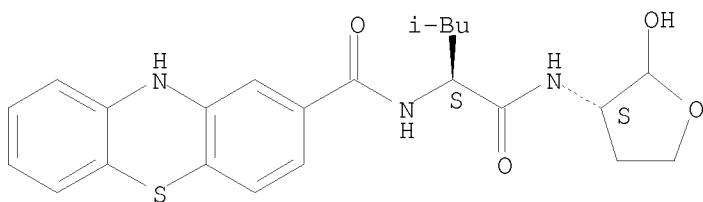
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel heterocyclic compds. as calpain inhibitors and trapping agents for reactive oxygen species)

RN 339007-47-5 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-3-methyl-1-[[[(3S)-tetrahydro-2-hydroxy-3-furanyl]amino]carbonyl]butyl]- (CA INDEX NAME)

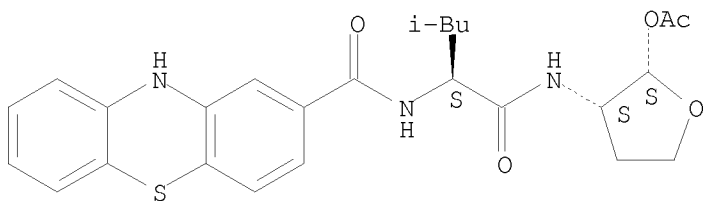
Absolute stereochemistry.



RN 339007-48-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

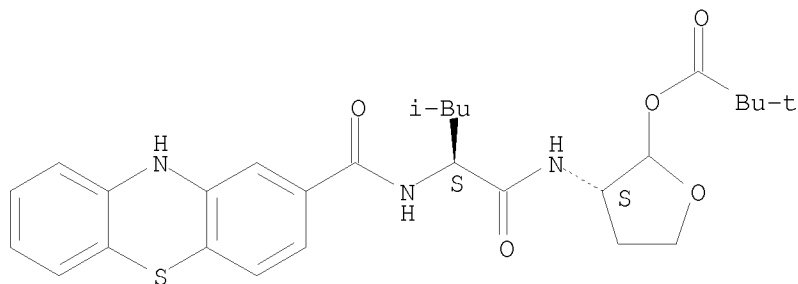
Absolute stereochemistry.



RN 339007-52-2 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, (3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

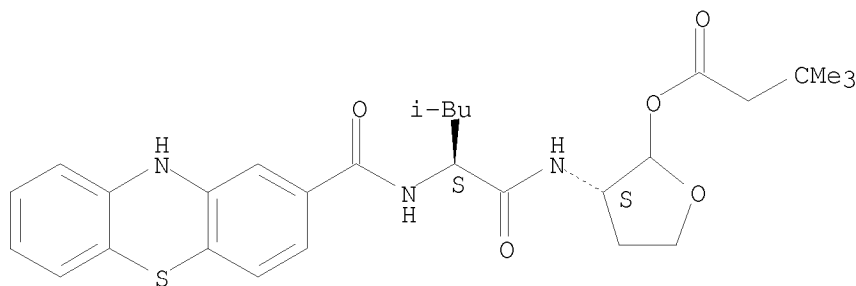
Absolute stereochemistry.



RN 339007-53-3 CAPLUS

CN Butanoic acid, 3,3-dimethyl-, (3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

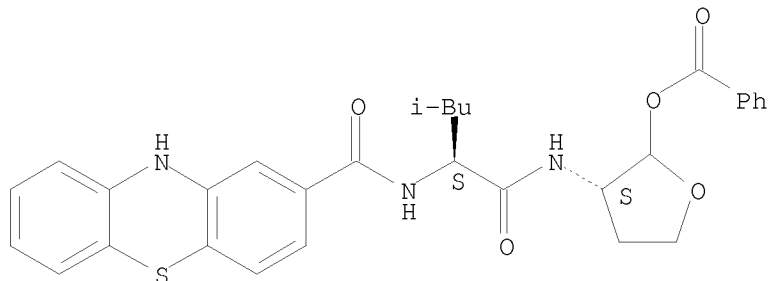
Absolute stereochemistry.



RN 339007-54-4 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(benzyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

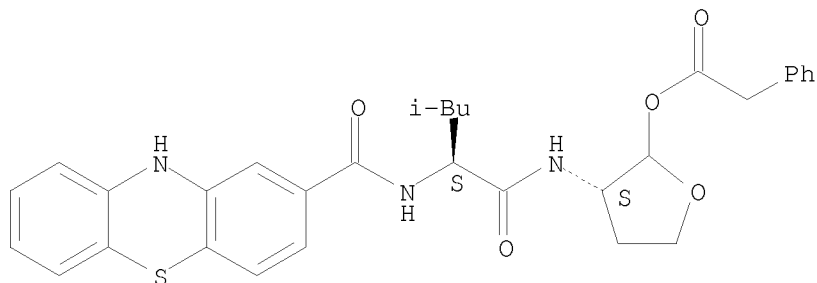
Absolute stereochemistry.



RN 339007-55-5 CAPLUS

CN Benzeneacetic acid, (3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

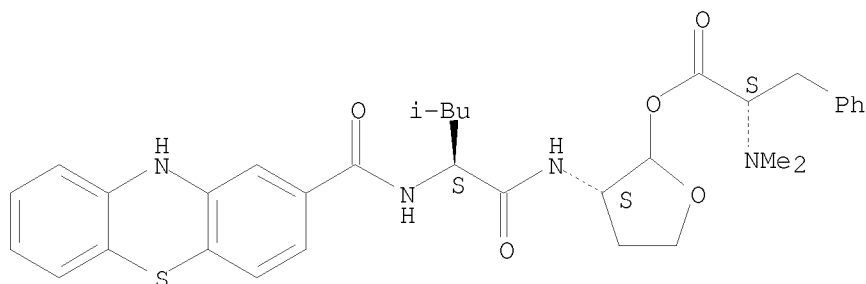
Absolute stereochemistry.



RN 339007-56-6 CAPLUS

CN L-Phenylalanine, N,N-dimethyl-, (3S)-tetrahydro-3-[[2-phenyl-2-oxoethyl]oxy]furan-2-yl ester (CA INDEX NAME)

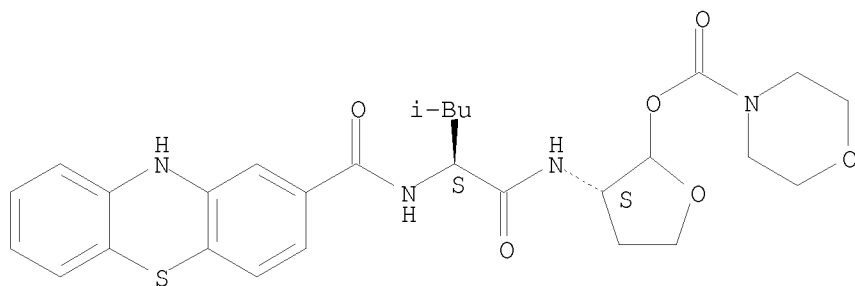
Absolute stereochemistry.



RN 339007-57-7 CAPLUS

CN 4-Morpholinecarboxylic acid, (3S)-tetrahydro-3-[[2-(dimethylamino)ethyl]oxy]furan-2-yl ester (CA INDEX NAME)

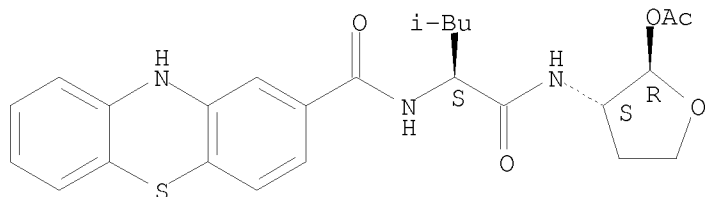
Absolute stereochemistry.



RN 339007-76-0 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[2-phenyl-2-oxoethyl]oxy]furan-3-yl]-(2R,3S)-2-[(2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]oxy]furan-3-yl ester (CA INDEX NAME)

Absolute stereochemistry.



=>

---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	56.08	234.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-6.40	-6.40
STN INTERNATIONAL LOGOFF AT 14:35:45 ON 20 NOV 2008		

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PASSWORD:

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV 26	MARPAT enhanced with FSORT command
NEWS	4	NOV 26	CHEMSAFE now available on STN Easy
NEWS	5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS	6	DEC 01	ChemPort single article sales feature unavailable
NEWS	7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families

NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS  
 NEWS 9 JAN 06 The retention policy for unread STNmail messages  
 will change in 2009 for STN-Columbus and STN-Tokyo  
 NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent  
 Classification Data  
 NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added  
 for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM  
 NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
 AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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 NEWS IPC8 For general information regarding STN implementation of IPC 8

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:10:15 ON 04 FEB 2009

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STRUCTURE FILE UPDATES: 3 FEB 2009 HIGHEST RN 1100396-01-7  
 DICTIONARY FILE UPDATES: 3 FEB 2009 HIGHEST RN 1100396-01-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

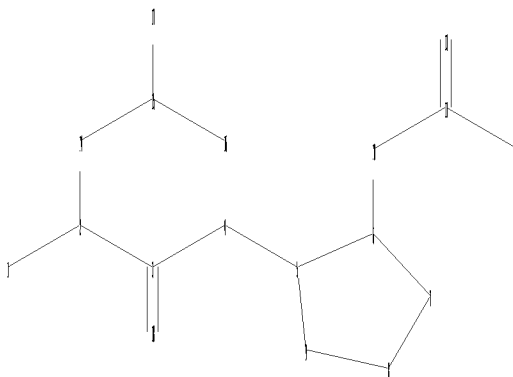
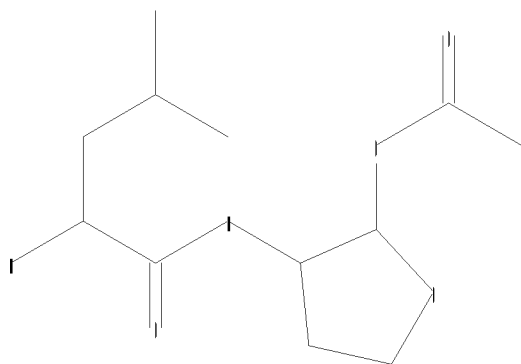
Please note that search-term pricing does apply when  
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REGISTRY includes numerically searchable data for experimental and  
 predicted properties as well as tags indicating availability of  
 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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ring nodes :
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ring bonds :
5-6  5-9  6-7  7-8  8-9
exact/norm bonds :
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Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
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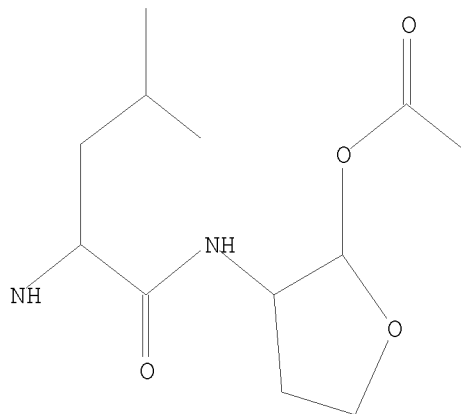
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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

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SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 9 TO 360  
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

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100.0% PROCESSED 157 ITERATIONS 88 ANSWERS  
SEARCH TIME: 00.00.01

L3 88 SEA SSS FUL L1

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ENTRY SESSION  
FULL ESTIMATED COST 185.88 186.10

FILE 'CAPLUS' ENTERED AT 14:11:08 ON 04 FEB 2009  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 4 Feb 2009 VOL 150 ISS 6  
FILE LAST UPDATED: 3 Feb 2009 (20090203/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3  
L4 20 L3

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=> s 14 and calpain
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    1323 CALPAINS
    6326 CALPAIN
        (CALPAIN OR CALPAINS)
L5      16 L4 AND CALPAIN

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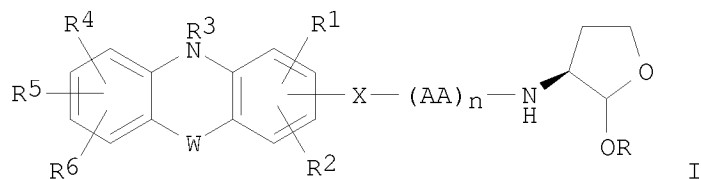
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L6  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:      2008:697982  CAPLUS
DOCUMENT NUMBER:       149:54264
TITLE:                 Preparation of 2-hydroxytetrahydrofuran peptide
                        derivatives for use as medicaments
INVENTOR(S):           Auvin, Serge; Chabrier de Lassauniere, Pierre-Etienne
PATENT ASSIGNEE(S):    Societe de Conseils de Recherches et d'Applications
                        Scientifiques (S.C.R.A.S.), Fr.
SOURCE:                U.S., 20pp., Cont.-in-part of U.S. Ser. No. 532,731.
                        CODEN: USXXAM
DOCUMENT TYPE:         Patent
LANGUAGE:              English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 7384933	B2	20080610	US 2005-115480	20050427 <--
US 20050222045	A1	20051006		
FR 2863268	A1	20050610	FR 2003-14368	20031209 <--
FR 2863268	B1	20060224		
WO 2005056551	A2	20050623	WO 2004-FR3147	20041208 <--
WO 2005056551	A3	20050811		
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,				
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,				
MR, NE, SN, TD, TG				
US 20060166893	A1	20060727	US 2005-532731	20050426 <--
US 7465721	B2	20081216		
PRIORITY APPLN. INFO.:			FR 2003-14368	A 20031209 <--
			WO 2004-FR3147	A 20041208 <--
			US 2005-532731	A2 20050426
OTHER SOURCE(S):		MARPAT 149:54264		
GI				



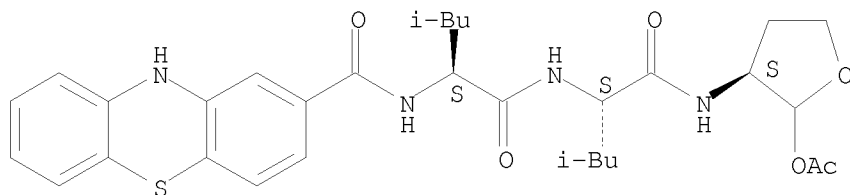
AB The invention relates to hydroxytetrahydrofuran derivs. I [R1, R2, R4, R5, R6 are independently H, halo, OH, alkyl, alkoxy, cyano, nitro, amino or acylamino groups; R3 is H, alkyl, acyl, or carbalkoxy; W is a bond, CH2CH2, CH:CH, O, S, NH or alkylimino; X is CO, Y-CO, O-Y-CO (Y is alkylene or haloalkylene), NH, alkylimino, acyl, or carbalkoxy; AA is NR7(CH2)3CHR8CO (R7, R8 are H or alkyl), a natural amino acid, including a natural amino acid whose side chain carries a protected reactive chemical function; n is 2 or 3; R is H, alkyl, or alkanoyl] which have calpain-inhibiting activity and/or activity which traps reactive oxygen species and are useful for treating inflammatory and immunol. diseases, cardiovascular and cerebrovascular diseases, disorders of the central or peripheral nervous system, osteoporosis, muscular dystrophy, proliferative diseases, cataract, rejection reactions following organ transplants and autoimmune and viral diseases. Thus, N-(10H-phenothiazin-2-ylcarbonyl)-L-leucyl-L-leucyl-N1-[(3S)-2-hydroxytetrahydrofuran-3-yl]-L-leucinamide, prepared by a multistep sequence which starts with reaction of Cbz-protected L-leucine with (S)-2-amino-4-butyrolactone hydrobromide, showed IC50 ≤ 5 μM in the human calpain I inhibition assay.

IT 853208-13-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of hydroxytetrahydrofuran peptide derivs. for use as medicaments)

RN 853208-13-6 CAPLUS

CN L-Leucinamide, N-(10H-phenothiazin-2-ylcarbonyl)-L-leucyl-N-[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1075636 CAPLUS

DOCUMENT NUMBER: 143:339689

TITLE: Use of a phenothiazine derivative for preventing and/or treating hearing loss

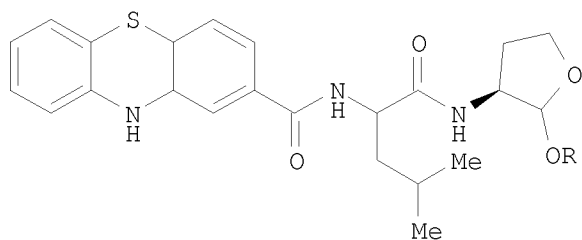
INVENTOR(S): Pignol, Bernadette; Puel, Jean-Luc; Auvin, Serge; Chabrier de Lassauniere, Pierre-Etienne; Wang, Jing

PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques S.C.R.A.S., Fr.

SOURCE: PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092345	A1	20051006	WO 2005-FR713	20050325 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2867979	A1	20050930	FR 2004-3203	20040329 <--
FR 2867979	B1	20060630		
CA 2560988	A1	20051006	CA 2005-2560988	20050325 <--
EP 1732567	A1	20061220	EP 2005-744629	20050325 <--
EP 1732567	B1	20081008		
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CN 1933840	A	20070321	CN 2005-80009139	20050325 <--
JP 2007530640	T	20071101	JP 2007-505576	20050325 <--
US 20080275034	A1	20081106	US 2006-594960	20060929 <--
PRIORITY APPLN. INFO.:			FR 2004-3203	A 20040329 <--
			FR 2004-6404	A 20040614 <--
			WO 2005-FR713	W 20050325

OTHER SOURCE(S): MARPAT 143:339689  
 GI



AB The invention discloses the use of a phenothiazine derivative I (R = H, alkyl, aralkyl, etc.) for preparing a medicine for preventing and/or treating hearing loss.

IT 742104-24-1

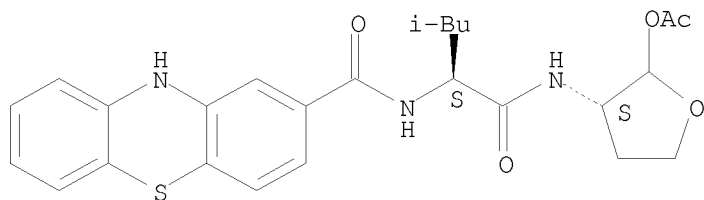
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phenothiazine derivs. for prevention and/or treatment of hearing loss)

RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.

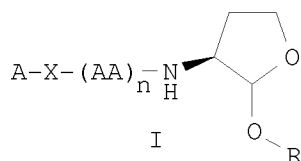


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2005:492131 CAPLUS  
 DOCUMENT NUMBER: 143:44075  
 TITLE: Preparation of peptidyl 3-aminotetrahydro-2-furanol derivatives for use as drugs  
 INVENTOR(S): Auvin, Serge; Chabrier De Lassauniere, Pierre Etienne  
 PATENT ASSIGNEE(S): Societe De Conseils de Recherches et d'Applications Scientifiques SCRAS, Fr.  
 SOURCE: Fr. Demande, 53 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2863268	A1	20050610	FR 2003-14368	20031209 <--
FR 2863268	B1	20060224		
CA 2548448	A1	20050623	CA 2004-2548448	20041208 <--
WO 2005056551	A2	20050623	WO 2004-FR3147	20041208 <--
WO 2005056551	A3	20050811		
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EP 1701974	A2	20060920	EP 2004-816363	20041208 <--
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AT 390437	T	20080415	AT 2004-816363	20041208 <--
ES 2304639	T3	20081016	ES 2004-816363	20041208 <--
US 20060166893	A1	20060727	US 2005-532731	20050426 <--
US 7465721	B2	20081216		
US 7384933	B2	20080610	US 2005-115480	20050427 <--
US 20050222045	A1	20051006		
PRIORITY APPLN. INFO.:				
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			WO 2004-FR3147	W 20041208 <--
			US 2005-532731	A2 20050426

OTHER SOURCE(S): MARPAT 143:44075  
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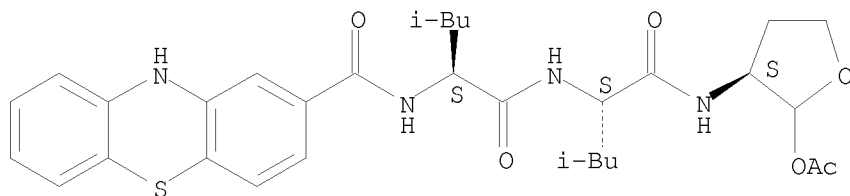
AB The invention relates to peptide derivs. I [A is (un)substituted carbazolyl, dibenzo[b,f]azepinyl or 10,11-dihydro derivs., phenoxazinyl, phenothiazinyl or phenazinyl; X is CO, Y-CO, O-Y-CO or NR1-Y-CO; Y is alkylene or haloalkylene; R, R1 are independently H, alkyl or acyl; AA is a natural amino acid or derivative; n is 2,3] or their salts which inhibit calpains and lipid peroxidn. and can be used to treat inflammatory, immunol., cardiovascular and other diseases. Thus, N-(10H-phenothiazin-2-ylcarbonyl)-L-leucyl-L-leucyl-N1-[(3S)-2-hydroxytetrahydrofuran-3-yl]-L-leucinamide was prepared by a multistep procedure involving reactions of Cbz-L-Leucine (Cbz = benzyloxycarbonyl), (S)-2-amino-4-butyrolactone hydrobromide, and 2-acetylphenothiazine and treatment with 2N HCl. The product showed IC50 < 5 µM for inhibition of human calpain I.

IT 853208-13-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of peptidyl aminotetrahydrofuranol derivs. for use as drugs)

RN 853208-13-6 CAPLUS

CN L-Leucinamide, N-(10H-phenothiazin-2-ylcarbonyl)-L-leucyl-N-[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:498592 CAPLUS

DOCUMENT NUMBER: 141:207514

TITLE: Novel dual inhibitors of calpain and lipid peroxidation

AUTHOR(S): Auvin, Serge; Pignol, Bernadette; Navet, Edith; Pons, Dominique; Marin, Jean-G.; Bigg, Dennis; Chabrier, Pierre-E.

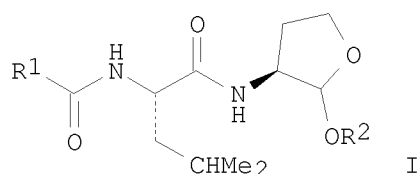
CORPORATE SOURCE: Department of Medicinal Chemistry, Ipsen Research Laboratories, Institut Henri Beaufour, Les Ulis, 91966, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(14), 3825-3828  
 CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English  
OTHER SOURCE(S): CASREACT 141:207514  
GI



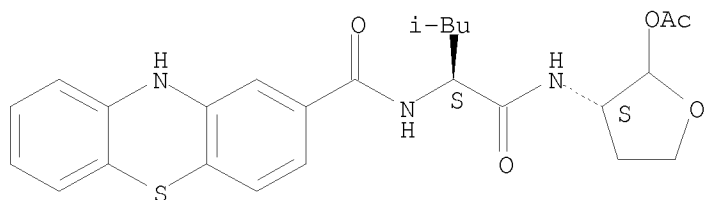
AB A series of mols. I (R1 = phenothiazin-1-yl, phenothiazin-2-yl, 1-benzyl-5-indolinylamino, etc., R2 = H; R1 = phenothiazin-2-yl, R2 = MeCO) with dual inhibitory activities on calpain and lipid peroxidn. were synthesized. These hybrid compds. were built on the calpain pharmacophore 2-hydroxytetrahydrofuran linked to a set of antioxidants via a L-leucine linker. I (R1 = phenothiazin-2-yl, R2 = MeCO), the most potent in cellular calpain and lipid peroxidn. inhibitions, provided effective protection against glial cell death induced by maitotoxin.

IT 742104-24-1P, BN 82270  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of (peptidyl)(hydroxy)tetrahydrofurans as dual inhibitors of calpain and lipid peroxidn.)

RN 742104-24-1 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2001:338525 CAPLUS  
DOCUMENT NUMBER: 134:353248  
TITLE: Novel heterocyclic compounds and their use as medicines  
INVENTOR(S): Auvin, Serge; Chabrier De Lassauniere, Pierre-Etienne  
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'applications Scientifiques (S.C.R.A.S.), Fr.  
SOURCE: PCT Int. Appl., 77 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001032654	A2	20010510	WO 2000-FR3067	20001103 <--
WO 2001032654	A3	20010927		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2800737	A1	20010511	FR 1999-13858	19991105 <--
FR 2800737	B1	20060630		
FR 2809398	A1	20011130	FR 2000-6535	20000523 <--
FR 2809398	B3	20020726		
CA 2389685	A1	20010510	CA 2000-2389685	20001103 <--
BR 2000015315	A	20020625	BR 2000-15315	20001103 <--
EP 1233962	A2	20020828	EP 2000-974646	20001103 <--
EP 1233962	B1	20060301		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002003183	A2	20030228	HU 2002-3183	20001103 <--
HU 2002003183	A3	20060228		
JP 2003513092	T	20030408	JP 2001-534805	20001103 <--
NZ 518420	A	20040227	NZ 2000-518420	20001103 <--
AU 781551	B2	20050526	AU 2001-12871	20001103 <--
RU 2260009	C2	20050910	RU 2002-114696	20001103 <--
AT 318809	T	20060315	AT 2000-974646	20001103 <--
EP 1661564	A1	20060531	EP 2005-77194	20001103 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PT 1233962	T	20060731	PT 2000-974646	20001103 <--
ES 2259617	T3	20061016	ES 2000-974646	20001103 <--
CN 1317278	C	20070523	CN 2000-815926	20001103 <--
US 6747024	B1	20040608	US 2002-111994	20020430 <--
NO 2002002088	A	20020502	NO 2002-2088	20020502 <--
MX 2002004442	A	20020902	MX 2002-4442	20020503 <--
IN 2002MN00604	A	20050304	IN 2002-MN604	20020513 <--
HK 1052706	A1	20070928	HK 2003-105058	20030714 <--
US 20040180936	A1	20040916	US 2004-803387	20040316 <--
AU 2005203713	A1	20050915	AU 2005-203713	20050818 <--
PRIORITY APPLN. INFO.:			FR 1999-13858	A 19991105 <--
			FR 2000-6535	A 20000523 <--
			EP 2000-974646	A3 20001103 <--
			WO 2000-FR3067	W 20001103 <--
			US 2002-111994	A3 20020430 <--

OTHER SOURCE(S): MARPAT 134:353248

AB Novel heterocyclic derivs. which have calpain inhibiting and/or reactive oxygen species trapping activity (no data) are reported. Thus, (R)-Trolox was treated with (S)-2-aminobutyrolactone hydrochloride, followed by DIBAL reduction to give (2R)-6-hydroxy-N-[(3S)-2-hydroxytetrahydrofuran-3-yl]-2,5,7,8-tetramethyl-3,4-dihydro-2H-chromene-2-carboxamide.

IT 339007-48-6P 339007-52-2P 339007-53-3P

339007-55-5P 339007-56-6P 339007-76-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

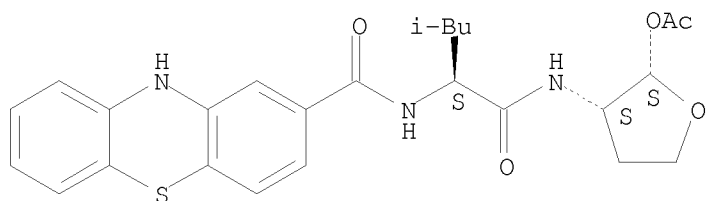
(preparation of novel heterocyclic compds. as calpain inhibitors and trapping agents for reactive oxygen species)

RN 339007-48-6 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(2S,3S)-2-

(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

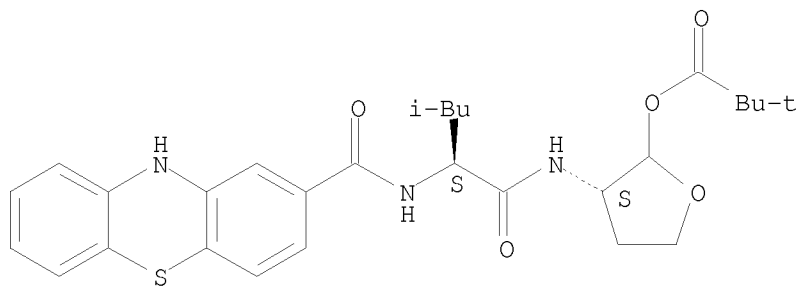
Absolute stereochemistry.



RN 339007-52-2 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, (3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

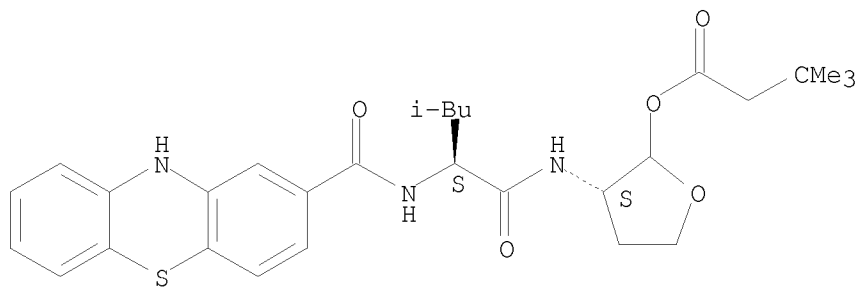
Absolute stereochemistry.



RN 339007-53-3 CAPLUS

CN Butanoic acid, 3,3-dimethyl-, (3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

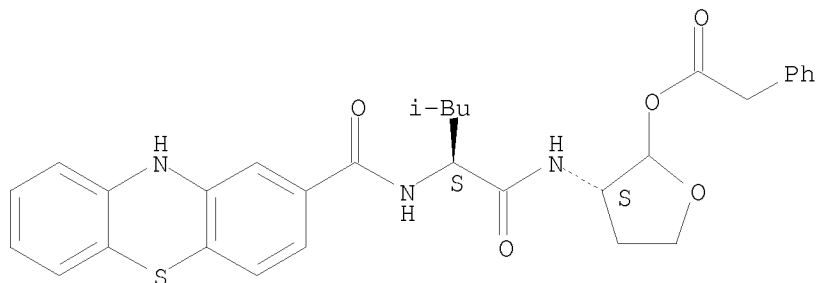
Absolute stereochemistry.



RN 339007-55-5 CAPLUS

CN Benzeneacetic acid, (3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

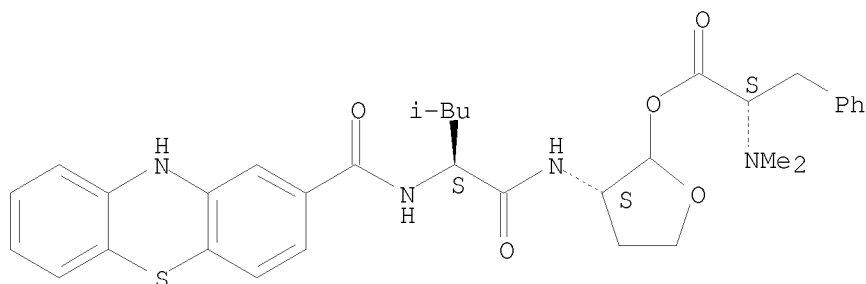
Absolute stereochemistry.



RN 339007-56-6 CAPLUS

CN L-Phenylalanine, N,N-dimethyl-, (3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(10H-phenothiazin-2-ylcarbonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

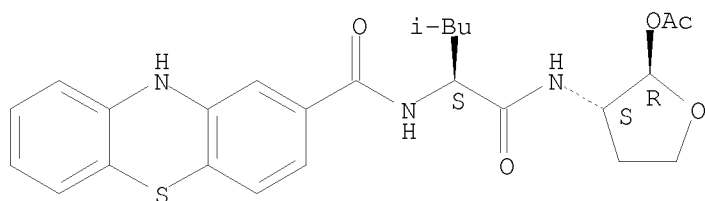
Absolute stereochemistry.



RN 339007-76-0 CAPLUS

CN 10H-Phenothiazine-2-carboxamide, N-[(1S)-1-[[[(2R,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:233912 CAPLUS

DOCUMENT NUMBER: 130:252373

TITLE: Preparation and formulation of O-containing heterocyclic derivatives as cysteine protease inhibitors

INVENTOR(S): Usui, Yoshihiro; Masuda, Hirokazu; Ando, Naoko; Nakao, Akira; Ando, Ryoichi; Yoshii, Narihiko; Saito, Ken-ichi

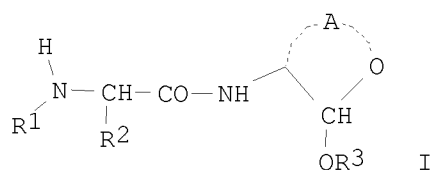
PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916761	A1	19990408	WO 1998-JP4420	19980930 <--
W: CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 11171881	A	19990629	JP 1998-277586	19980930 <--
PRIORITY APPLN. INFO.:			JP 1997-266034	A 19970930 <--
OTHER SOURCE(S):	MARPAT 130:252373			

GI



AB The title compds. I [R1 represents optionally substituted C6-14 aryl or an optionally substituted heterocycle residue; R2 represents hydrogen or C1-10 alkyl optionally substituted by C6-14 aryl; R3 represents hydrogen or R4CO (R4 represents C1-10 alkyl); and A represents C1-3 alkylene optionally substituted by C1-3 alkyl] are prepared I are useful as cysteine protease inhibitors excellent in oral absorbability, migration to tissues, and can easily pass through the cell membrane, etc.  
(3S)-3-[(S)-2-(4,6-dimethoxy-2-pyrimidinyl)amino-4-methylvaleryl-amino]-2-tetrahydrofuranol in vitro showed IC50 of 1.27  $\mu$ M against calpain.

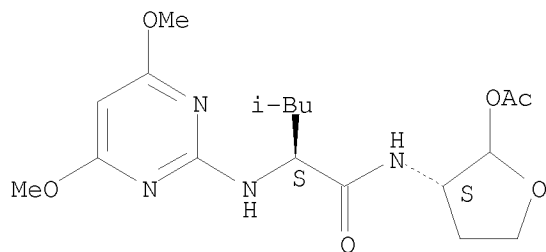
IT 221683-02-9P 221683-09-6P 221683-12-1P  
221683-20-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of O-containing heterocyclic derivs. as cysteine protease inhibitors)

RN 221683-02-9 CAPLUS

CN Pentanamide, N-[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(4,6-dimethoxy-2-pyrimidinyl)amino]-4-methyl-, (2S)- (CA INDEX NAME)

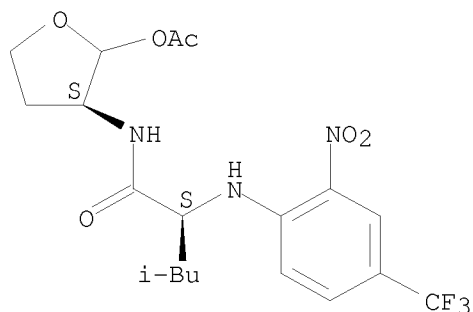
Absolute stereochemistry.



RN 221683-09-6 CAPLUS

CN Pentanamide, N-[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[[2-nitro-4-(trifluoromethyl)phenyl]amino]-, (2S)- (CA INDEX NAME)

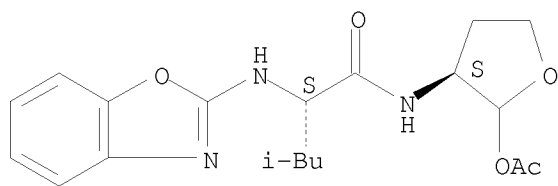
Absolute stereochemistry.



RN 221683-12-1 CAPLUS

CN Pentanamide, N-[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-(2-benzoxazolylamino)-4-methyl-, (2S)- (CA INDEX NAME)

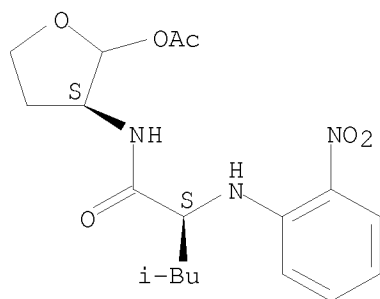
Absolute stereochemistry.



RN 221683-20-1 CAPLUS

CN Pentanamide, N-[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(2-nitrophenyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:246624 CAPLUS

DOCUMENT NUMBER: 129:32318

ORIGINAL REFERENCE NO.: 129:6761a,6764a

TITLE: Cataract curative medicine.

INVENTOR(S): Watanabe, Toshiaki; Yoshii, Shigehiko; Saito, Kenichi; Ando, Ryoichi

PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 74 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10101557	A	19980421	JP 1997-197216	19970723 <--
PRIORITY APPLN. INFO.:			JP 1996-208540	A 19960807 <--

OTHER SOURCE(S): MARPAT 129:32318

GI For diagram(s), see printed CA Issue.

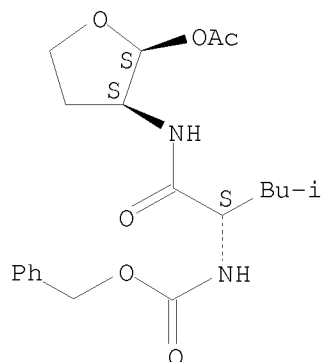
AB The cataract curative medicine has an effective component of structure (I), its salt, solvate, or hydrate, where R1 is R4-CO-, R4-O-CO-, or R4-SO2- (R4: C1-20 alkyl), R2 is C1-C6 alkyl, R3 is H or R5-CO- (R5: C1-10 alkyl), and A is C1-3 alkylene. Thus, 998 mg N-phenylsulfonyl-L-leucine was react with 6 mL SO2Cl2 and 443 mg homoserine lactone to give (S)-3-[(S)-4-methyl-2-phenylsulfonylaminovalerylamino]-2-tetrahydrofuranone 861 mg, which was reacted with hydrogendiisobutylaluminum to give (3S)-3-[(S)-4-Methyl-2-phenylsulfonylaminovalerylamino]-2-tetrahydrofuranol 191 mg, which showed strong calpain inhibition activity (I C50 0.62  $\mu$ M).

IT 167765-43-7P 201155-39-7DP, salts, solvates, or hydrates  
 201155-39-7P 201155-40-0DP, salts, solvates, or hydrates  
 201155-40-0P 201155-41-1DP, salts, solvates, or hydrates  
 201155-41-1P 201155-42-2P 201155-44-4DP,  
 salts, solvates, or hydrates 201155-44-4P 201155-46-6P  
 201155-47-7DP, salts, solvates, or hydrates 201155-47-7P  
 201155-49-9P 201155-50-2P 201155-52-4DP,  
 salts, solvates, or hydrates 201155-52-4P 201155-54-6DP  
 , salts, solvates, or hydrates 201155-54-6P  
 201155-58-0DP, salts, solvates, or hydrates 201155-58-0P  
 201155-60-4DP, salts, solvates, or hydrates 201155-60-4P  
 201157-12-2DP, salts, solvates, or hydrates 201157-12-2P  
 201157-68-8P 207500-74-1P 207500-75-2P  
 207500-76-3DP, salts, solvates, or hydrates 207500-76-3P  
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (cataract curative medicine)

RN 167765-43-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

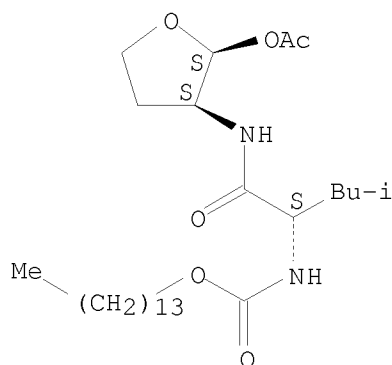
Absolute stereochemistry.



RN 201155-39-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tetradecyl ester (9CI) (CA INDEX NAME)

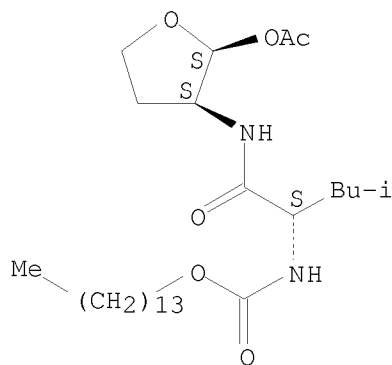
Absolute stereochemistry.



RN 201155-39-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tetradecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

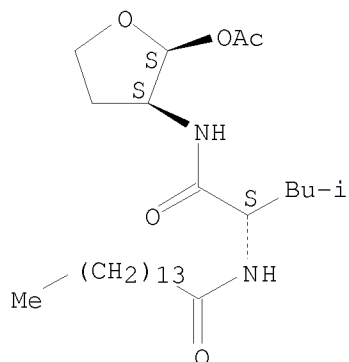


RN 201155-40-0 CAPLUS

CN Pentadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-

furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

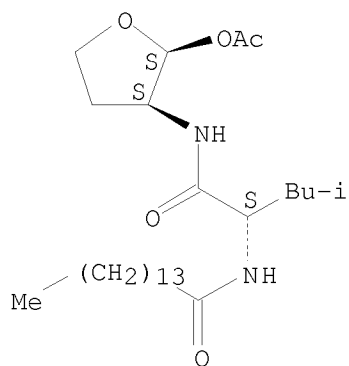
Absolute stereochemistry.



RN 201155-40-0 CAPLUS

CN Pentadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

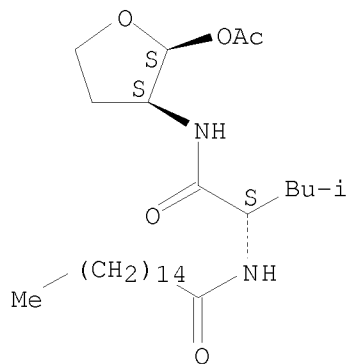
Absolute stereochemistry.



RN 201155-41-1 CAPLUS

CN Hexadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.

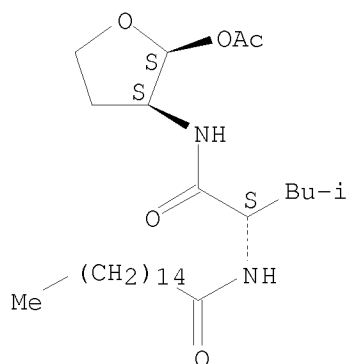


RN 201155-41-1 CAPLUS



CN Hexadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

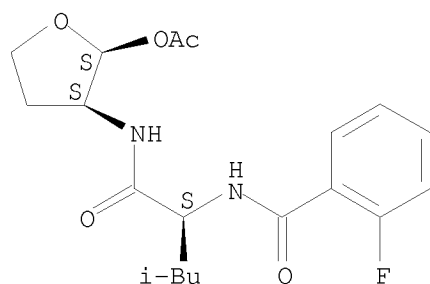
Absolute stereochemistry.



RN 201155-42-2 CAPLUS

CN Benzamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-2-fluoro- (CA INDEX NAME)

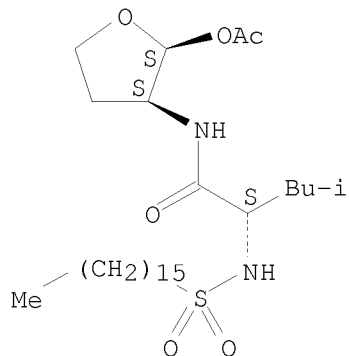
Absolute stereochemistry.



RN 201155-44-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (CA INDEX NAME)

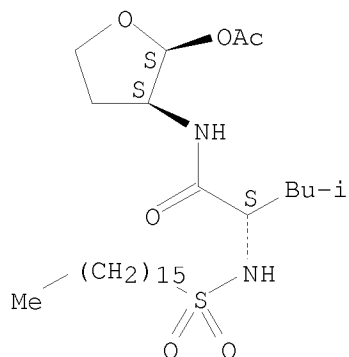
Absolute stereochemistry.



RN 201155-44-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (CA INDEX NAME)

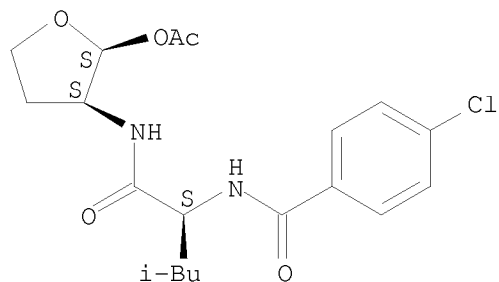
Absolute stereochemistry.



RN 201155-46-6 CAPLUS

CN Benzamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-4-chloro- (CA INDEX NAME)

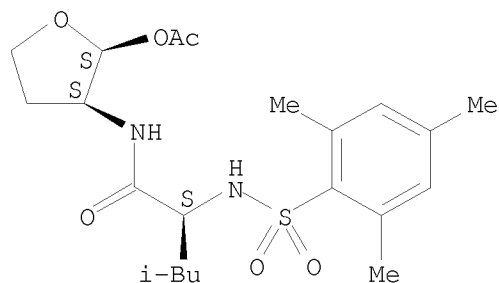
Absolute stereochemistry.



RN 201155-47-7 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[[[(2,4,6-trimethylphenyl)sulfonyl]amino]-, (2S)- (CA INDEX NAME)

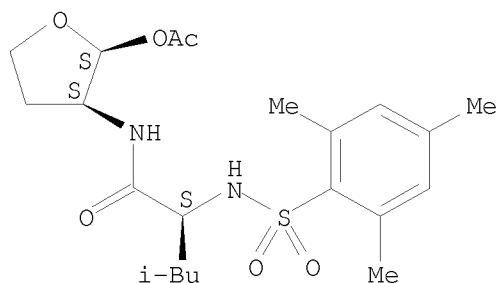
Absolute stereochemistry.



RN 201155-47-7 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[[[(2,4,6-trimethylphenyl)sulfonyl]amino]-, (2S)- (CA INDEX NAME)

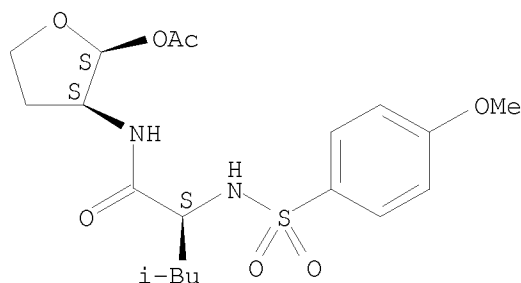
Absolute stereochemistry.



RN 201155-49-9 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[[4-methoxyphenyl)sulfonyl]amino]-4-methyl-, (2S)- (CA INDEX NAME)

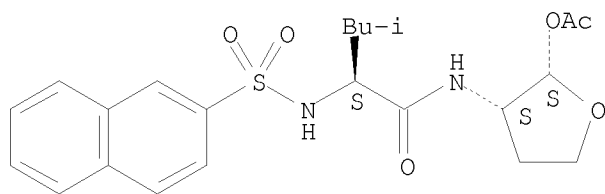
Absolute stereochemistry.



RN 201155-50-2 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(2-naphthalenyl)sulfonyl]amino]-, (2S)- (CA INDEX NAME)

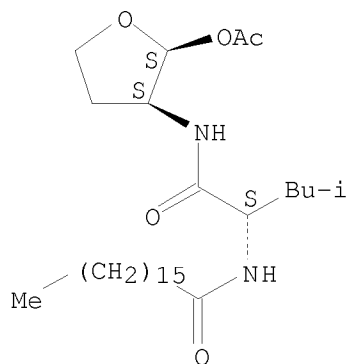
Absolute stereochemistry.



RN 201155-52-4 CAPLUS

CN Heptadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

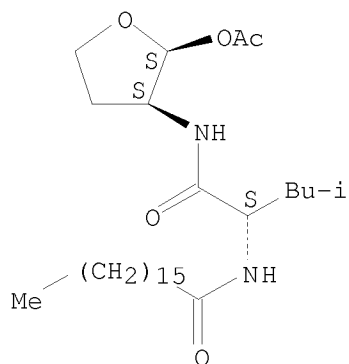
Absolute stereochemistry.



RN 201155-52-4 CAPLUS

CN Heptadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

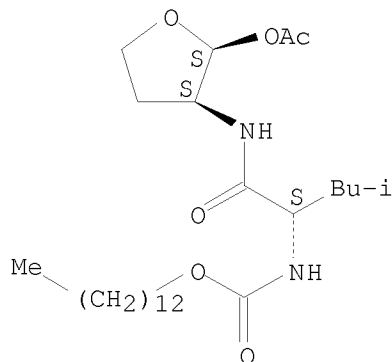
Absolute stereochemistry.



RN 201155-54-6 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tridecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

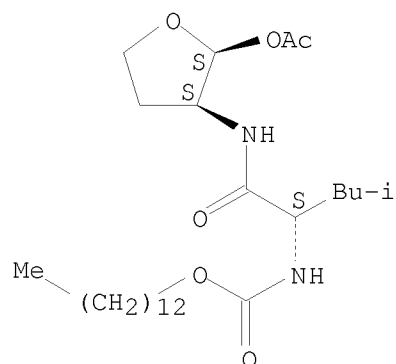


RN 201155-54-6 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tridecyl ester (9CI) (CA INDEX NAME)

NAME)

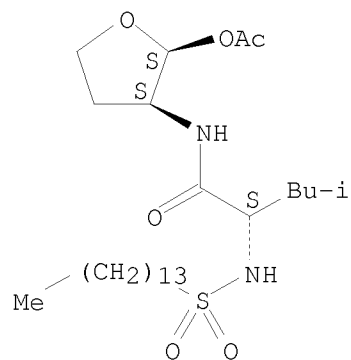
Absolute stereochemistry.



RN 201155-58-0 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

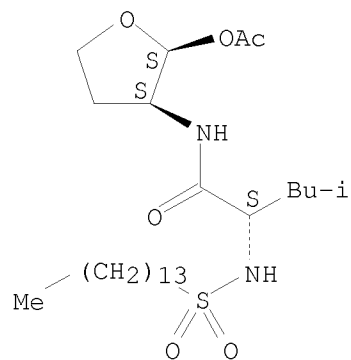
Absolute stereochemistry.



RN 201155-58-0 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

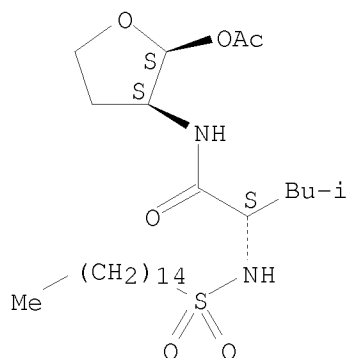
Absolute stereochemistry.



RN 201155-60-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-  
[(pentadecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

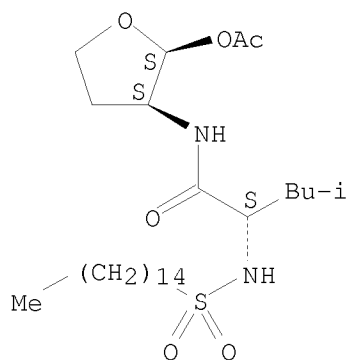
Absolute stereochemistry.



RN 201155-60-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-  
[(pentadecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

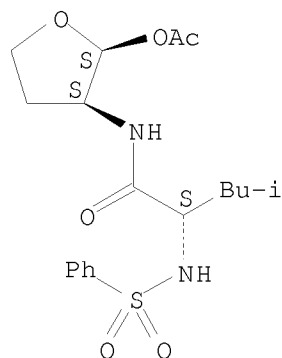
Absolute stereochemistry.



RN 201157-12-2 CAPLUS

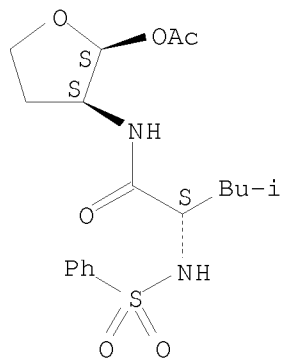
CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-  
[(phenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



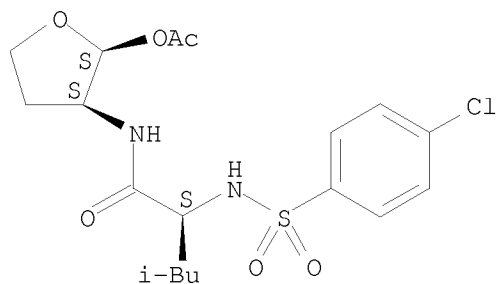
RN 201157-12-2 CAPLUS  
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-  
 [(phenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



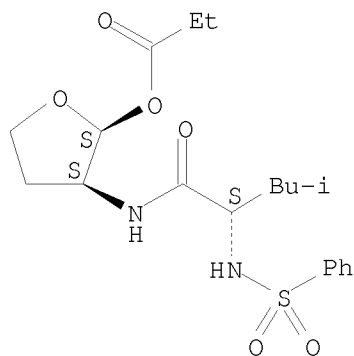
RN 201157-68-8 CAPLUS  
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[[4-chlorophenyl)sulfonyl]amino]-4-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



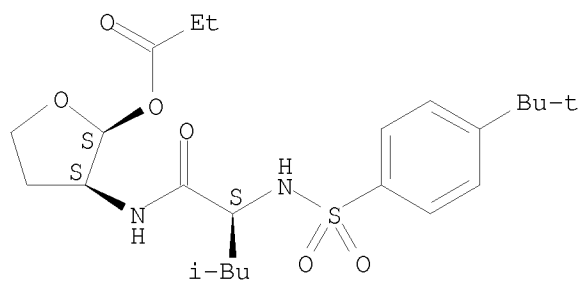
RN 207500-74-1 CAPLUS  
 CN Pentanamide, 4-methyl-2-[(phenylsulfonyl)amino]-N-[(2S,3S)-tetrahydro-2-(1-oxopropoxy)-3-furanyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 207500-75-2 CAPLUS  
 CN Pentanamide, 2-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-4-methyl-N-  
 [(2S,3S)-tetrahydro-2-(1-oxopropoxy)-3-furanyl]-, (2S)- (CA INDEX NAME)

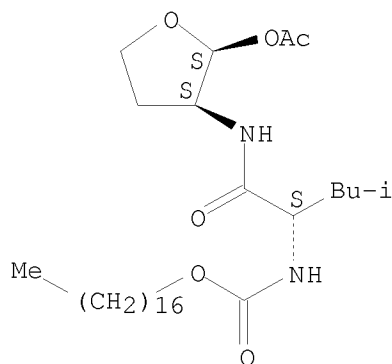
Absolute stereochemistry.



RN 207500-76-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, heptadecyl ester (9CI) (CA INDEX NAME)

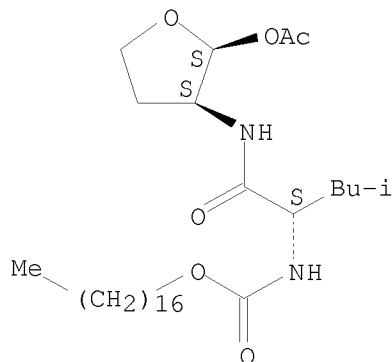
Absolute stereochemistry.



RN 207500-76-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, heptadecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

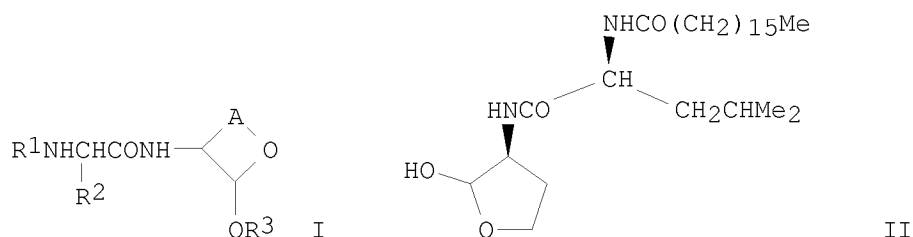




DOCUMENT NUMBER: 128:167712  
ORIGINAL REFERENCE NO.: 128:33065a, 33068a  
TITLE: Preparation of oxygenic heterocyclic derivatives of amino acid amides as cysteine protease inhibitors  
INVENTOR(S): Ando, Ryoichi; Masuda, Hirokazu; Aritomo, Keiichi; Yoshii, Narihiko; Saito, Ken-Ichi  
PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan  
SOURCE: PCT Int. Appl., 68 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804539	A1	19980205	WO 1997-JP2598	19970728 <--
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			JP 1996-199037	A 19960729 <--
OTHER SOURCE(S):		MARPAT 128:167712		

GI



AB Oxygenic heterocyclic derivs. of general formula [I;  $R^1 = R^4CO$ ,  $R^4O_2C$ ,  $R^4SO_2$  ( $R^4 =$  straight-chain C11-20 alkyl);  $R^2 =$  C1-10 alkyl optionally substituted by C6-14 aryl;  $R^3 = H$ ,  $R^5CO$  (wherein  $R^5 =$  C1-10 alkyl);  $A =$  C1-3 alkylene optionally substituted by C1-3 alkyl], salts thereof, and solvates or hydrates thereof are prepared. These compds. exhibit a potent inhibitory activity against cysteine proteases such as calpain, papain, cathepsin B, cathepsin H, cathepsin L, calpain, and interleukin  $1\beta$ -converting enzyme and are excellent in absorbability through oral administration, tissue transportability, and cell membrane permeability and are useful for the treatment of muscular dystrophy, muscular atrophy, myocardial infarction, stroke, Alzheimer's disease, disorders of cognition and motor disorders in head trauma, multiple sclerosis, neuropathy of peripheral nerve, cataract, allergy, hepatitis siderans, osteoporosis, hypercalcemia, breast cancer, prostate cancer, prostatomegaly, inhibitors of cancer proliferation and metastasis, and blood platelet aggregation inhibitors. Thus, (3S)-3-[(S)-2-(tert-butoxycarbonylamino)-4-methylvaleryl-amino]-2-tetrahydrofuranone was stirred with 4 N HCl in EtOAc at room temperature for 45 min and then acylated by heptadecanoyl chloride in the presence of Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> at room temperature overnight to give (3S)-3-[(S)-2-(heptadecanoylamino)-4-methylvaleryl-amino]-2-tetrahydrofuranone, which was reduced by LiAlH<sub>4</sub> in THF at -68° for 1 h to give (3S)-[(N-heptadecanoyl-L-leucyl)-amino]-2-tetrahydrofuranol (II;  $R =$  heptadecanoyl). The latter compound and II ( $R =$  pentadecylsulfonyl) in vitro showed IC<sub>50</sub> of 1.05 and 0.09  $\mu M$ , resp., against m-calpain.

IT 201155-39-7P 201155-40-0P 201155-41-1P

201155-44-4P 201155-52-4P 201155-54-6P  
201155-56-8P 201155-58-0P 201155-60-4P  
202814-98-0P 202815-01-8P

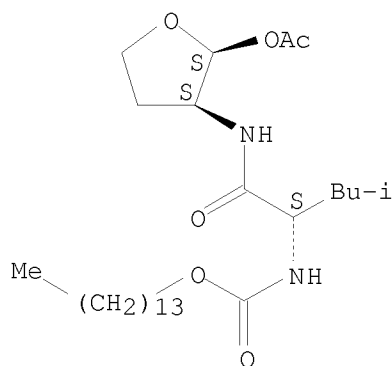
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxygenic heterocyclic derivs. of amino acid amides as cysteine protease inhibitors for treatment of diseases)

RN 201155-39-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tetradecyl ester (9CI) (CA INDEX NAME)

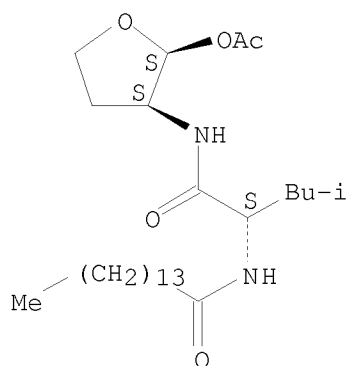
Absolute stereochemistry.



RN 201155-40-0 CAPLUS

CN Pentadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

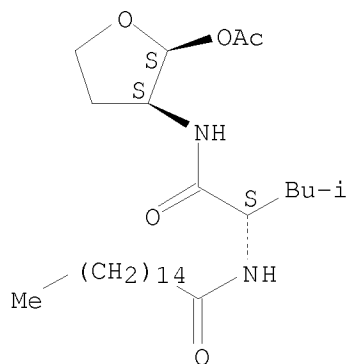
Absolute stereochemistry.



RN 201155-41-1 CAPLUS

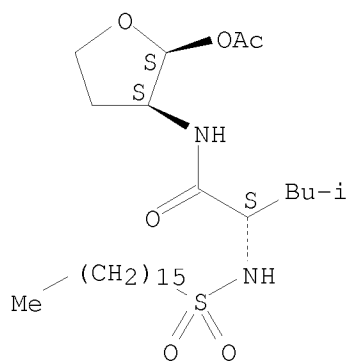
CN Hexadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



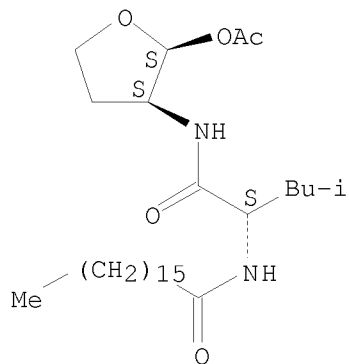
RN 201155-44-4 CAPLUS  
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-  
 [(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



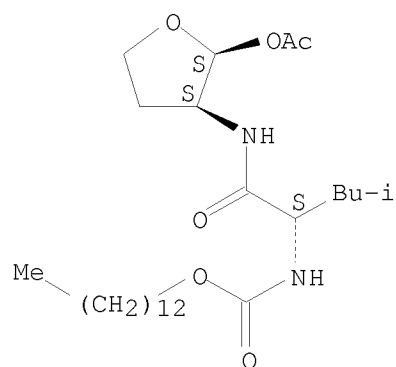
RN 201155-52-4 CAPLUS  
 CN Heptadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-  
 furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-54-6 CAPLUS  
 CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-  
 furanyl]amino]carbonyl]-3-methylbutyl]-, tridecyl ester (9CI) (CA INDEX  
 NAME)

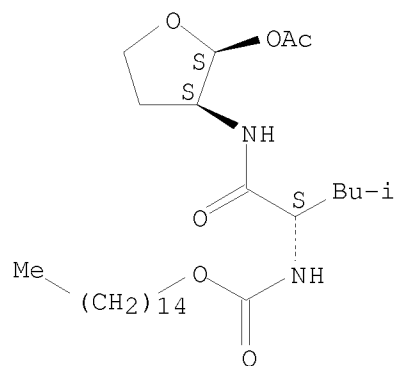
Absolute stereochemistry.



RN 201155-56-8 CAPLUS

CN Carbamic acid, [1-[[[2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, pentadecyl ester, [2S-[2 $\alpha$ ,3 $\alpha$ (R\*)]]- (9CI) (CA INDEX NAME)

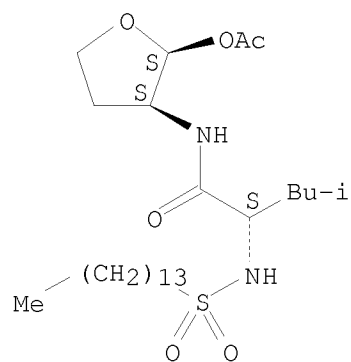
Absolute stereochemistry.



RN 201155-58-0 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

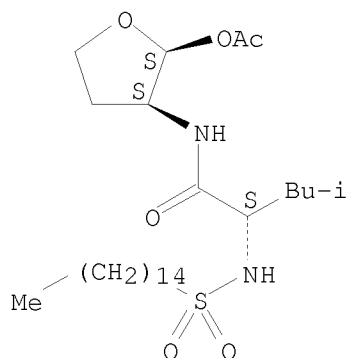
Absolute stereochemistry.



RN 201155-60-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-  
[(pentadecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

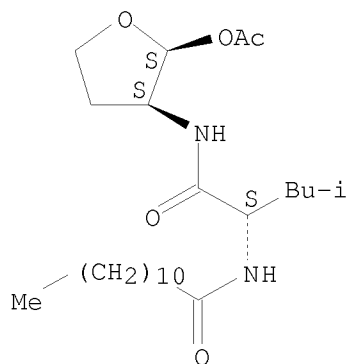
Absolute stereochemistry.



RN 202814-98-0 CAPLUS

CN Dodecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-  
furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

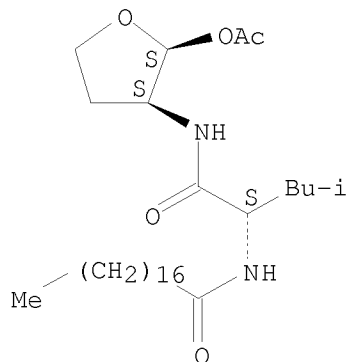
Absolute stereochemistry.



RN 202815-01-8 CAPLUS

CN Octadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-  
furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:65808 CAPLUS

DOCUMENT NUMBER: 128:102004

ORIGINAL REFERENCE NO.: 128:19985a,19988a

TITLE: Preparation of hydroxytetrahydrofuran derivatives as remedies for ischemic diseases

INVENTOR(S): Yoshii, Narihiko; Saito, Ken-ichi; Kawasumi, Hisashi; Anabuki, Jun; Ando, Ryoichi

PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

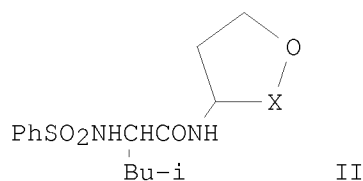
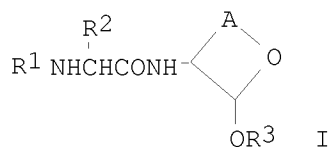
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801130	A1	19980115	WO 1997-JP2378	19970709 <--
W: US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 10101558	A	19980421	JP 1997-179756	19970704 <--
EP 925786	A1	19990630	EP 1997-930735	19970709 <--
R: DE, ES, FR, GB, IT				
PRIORITY APPLN. INFO.:			JP 1996-180783	A 19960710 <--
			JP 1996-207011	A 19960806 <--
			WO 1997-JP2378	W 19970709 <--
OTHER SOURCE(S):		MARPAT 128:102004		
GI				



AB The title compds. [I; R1 = R4CO, R4OCO, R4SO2, etc.; R2 = alkyl; R3 = H, acyl; R4 = (un)substituted C1-20 alkyl or C6-14 aryl, etc.; A = alkylene] are prepared I are efficacious in treating ischemic diseases, for example, ischemic brain diseases, cerebral stroke, cerebral thrombosis, cerebral embolism and myocardial infarction. Thus, compound (II; X = CO) (preparation

given) was reduced by (Me<sub>2</sub>CHCH<sub>2</sub>)<sub>2</sub>AlH to give 46% the title compound II (X = CHOH), which showed IC<sub>50</sub> of 0.62 μM against calpain.

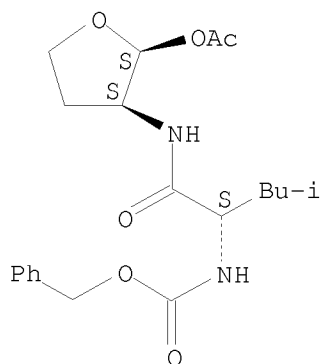
IT 167765-43-7P 201155-39-7P 201155-41-1P  
 201155-42-2P 201155-44-4P 201155-45-5P  
 201155-46-6P 201155-47-7P 201155-48-8P  
 201155-49-9P 201155-50-2P 201155-54-6P  
 201155-58-0P 201155-60-4P 201157-09-7P  
 201157-10-0P 201157-11-1P 201157-12-2P  
 201157-68-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of hydroxytetrahydrofuran derivs. as remedies for ischemic diseases)

RN 167765-43-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

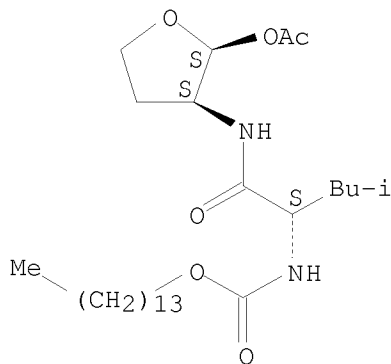
Absolute stereochemistry.



RN 201155-39-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tetradecyl ester (9CI) (CA INDEX NAME)

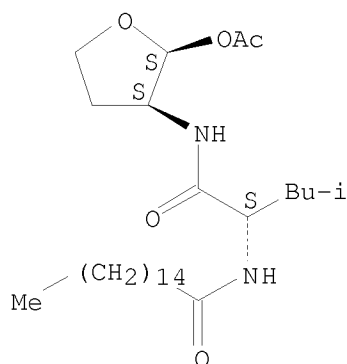
Absolute stereochemistry.



RN 201155-41-1 CAPLUS

CN Hexadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

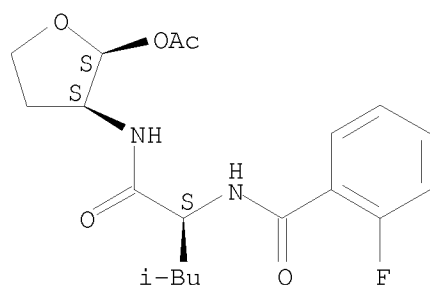
Absolute stereochemistry.



RN 201155-42-2 CAPLUS

CN Benzamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-2-fluoro- (CA INDEX NAME)

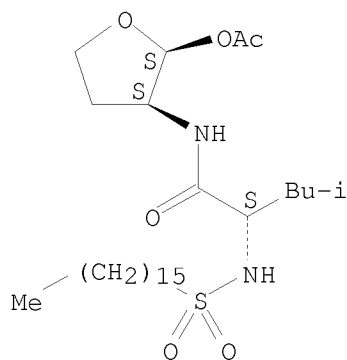
Absolute stereochemistry.



RN 201155-44-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

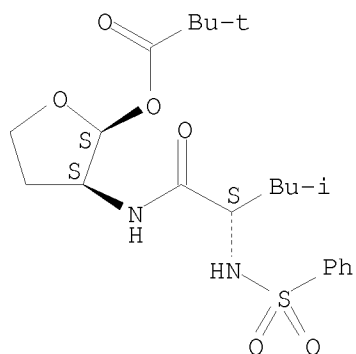


RN 201155-45-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, (2S,3S)-tetrahydro-3-[[[(2S)-4-methyl-1-oxo-2-[(phenylsulfonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

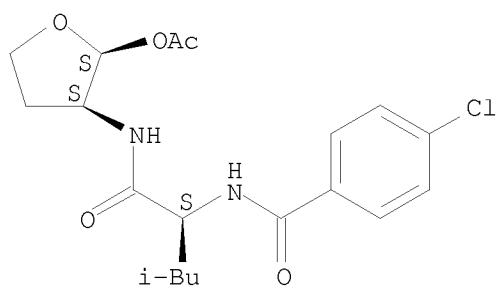
Absolute stereochemistry.





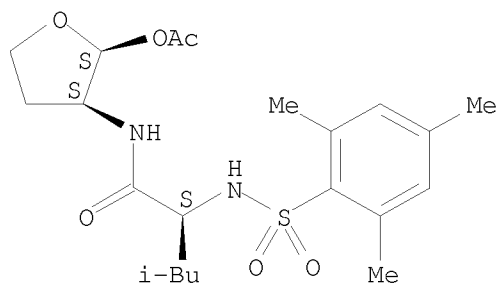
RN 201155-46-6 CAPLUS  
 CN Benzamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-4-chloro- (CA INDEX NAME)

Absolute stereochemistry.



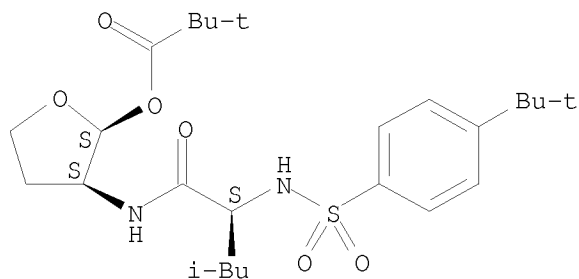
RN 201155-47-7 CAPLUS  
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[[[2,4,6-trimethylphenyl]sulfonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-48-8 CAPLUS  
 CN Propanoic acid, 2,2-dimethyl-, (2S,3S)-3-[[[(2S)-2-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-4-methyl-1-oxopentyl]amino]tetrahydro-2-furanyl ester (CA INDEX NAME)

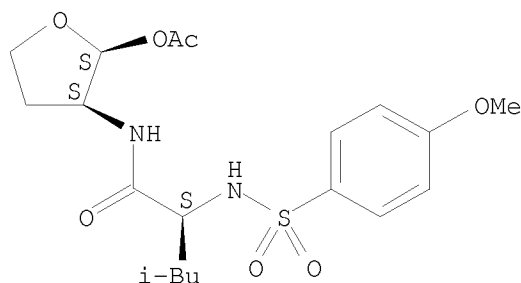
Absolute stereochemistry.



RN 201155-49-9 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[[4-methoxyphenyl)sulfonyl]amino]-4-methyl-, (2S)- (CA INDEX NAME)

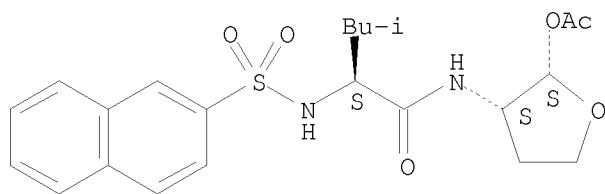
Absolute stereochemistry.



RN 201155-50-2 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(2-naphthalenyl)sulfonyl]amino]-, (2S)- (CA INDEX NAME)

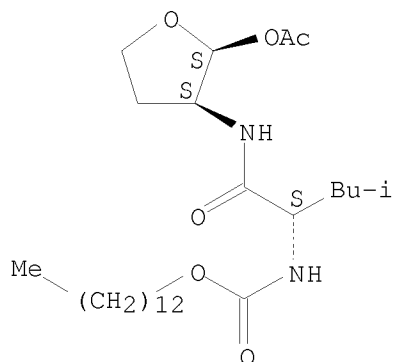
Absolute stereochemistry.



RN 201155-54-6 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tridecyl ester (9CI) (CA INDEX NAME)

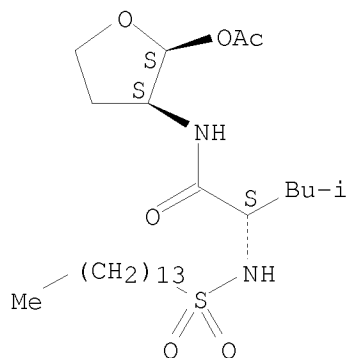
Absolute stereochemistry.



RN 201155-58-0 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

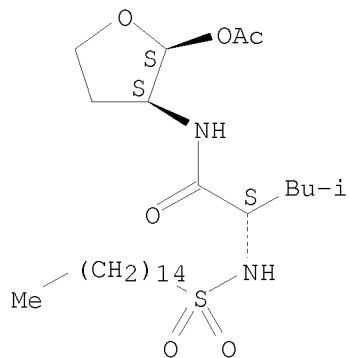
Absolute stereochemistry.



RN 201155-60-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

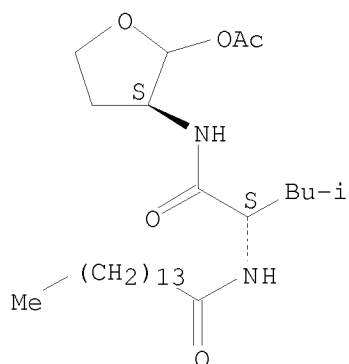
Absolute stereochemistry.



RN 201157-09-7 CAPLUS

CN Pentadecanamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

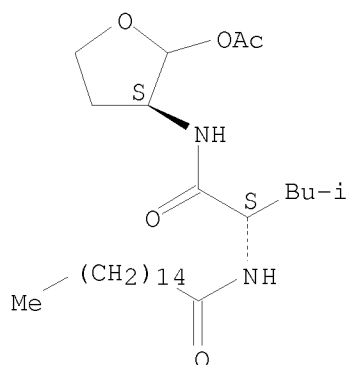
Absolute stereochemistry.



RN 201157-10-0 CAPLUS

CN Hexadecanamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

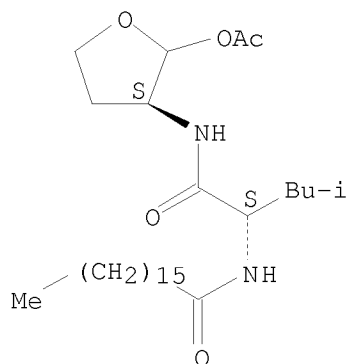
Absolute stereochemistry.



RN 201157-11-1 CAPLUS

CN Heptadecanamide, N-[(1S)-1-[[[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

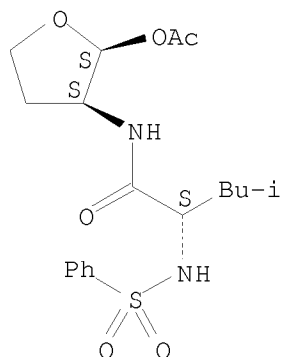
Absolute stereochemistry.



RN 201157-12-2 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(phenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

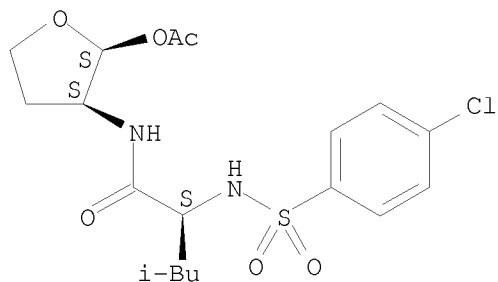
Absolute stereochemistry.



RN 201157-68-8 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[[4-chlorophenyl)sulfonyl]amino]-4-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:65807 CAPLUS

DOCUMENT NUMBER: 128:102386

ORIGINAL REFERENCE NO.: 128:20073a,20076a

TITLE: Preparation and formulation of amino acid derivatives for the prevention and treatment of neurodegenerative diseases

INVENTOR(S): Yoshii, Narihiko; Saito, Ken-ichi; Ando, Ryoichi

PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

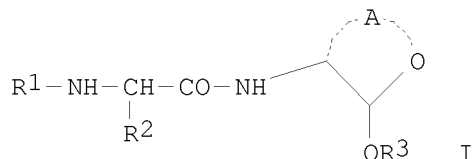
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801129	A1	19980115	WO 1997-JP2377	19970709 <--
W: US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 10101560	A	19980421	JP 1997-179757	19970704 <--
PRIORITY APPLN. INFO.:			JP 1996-180784	A 19960710 <--

JP 1996-200757 A 19960730 <--  
 JP 1996-200758 A 19960730 <--  
 JP 1996-207012 A 19960806 <--

OTHER SOURCE(S): MARPAT 128:102386  
 GI



AB The title compds. I [R1 represents R4CO, etc.; R4 represents alkyl, aryl or cycloalkyl; R2 represents alkyl; R3 represents hydrogen or acyl; and A represents alkylene] are prepared. These drugs are efficacious in preventing or treating neurodegenerative diseases, for example, Alzheimer's disease, diseases caused by demyelination in nerve cells, such as multiple sclerosis and neuropathy, and disorders accompanying cephalic traumas, such as consciousness disorder and motility disorder.  
 (3S)-3-((S)-4-Methyl-2-phenylsulfonylaminovaleryl-amino)-2-tetrahydrofuranol in vitro showed IC50 of 0.62  $\mu$ M against calpain.

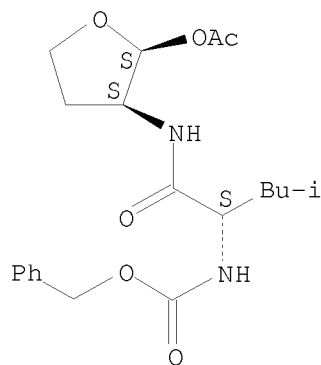
IT 167765-43-7P 201155-15-9P 201155-39-7P  
 201155-40-0P 201155-41-1P 201155-42-2P  
 201155-43-3P 201155-44-4P 201155-45-5P  
 201155-46-6P 201155-47-7P 201155-48-8P  
 201155-49-9P 201155-50-2P 201155-52-4P  
 201155-54-6P 201155-56-8P 201155-58-0P  
 201155-60-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amino acid derivs. for prevention and treatment of neurodegenerative diseases)

RN 167765-43-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

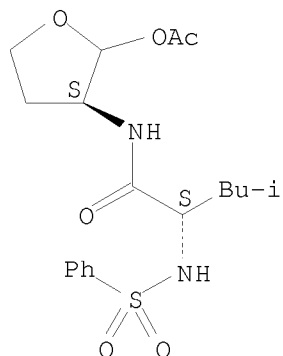


RN 201155-15-9 CAPLUS

CN Pentanamide, N-[(3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-

[(phenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

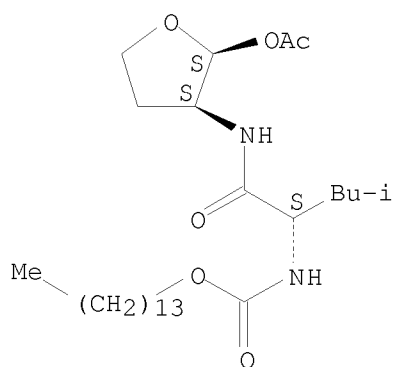
Absolute stereochemistry.



RN 201155-39-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tetradecyl ester (9CI) (CA INDEX NAME)

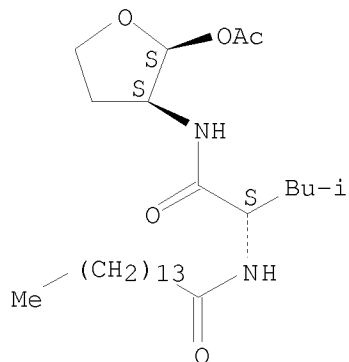
Absolute stereochemistry.



RN 201155-40-0 CAPLUS

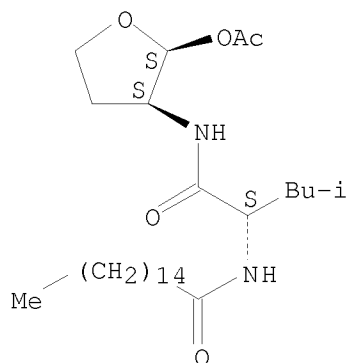
CN Pentadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



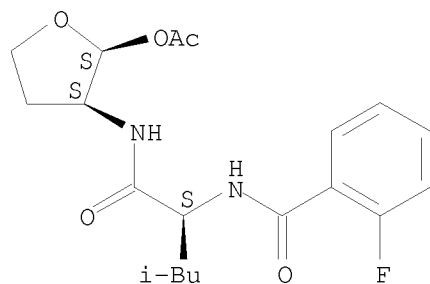
RN 201155-41-1 CAPLUS  
 CN Hexadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

Absolute stereochemistry.



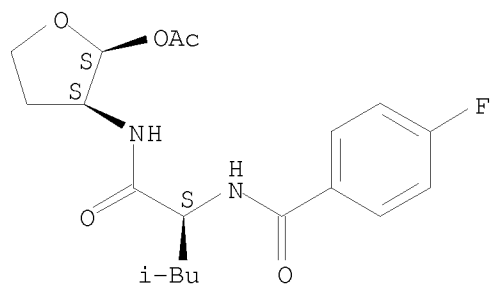
RN 201155-42-2 CAPLUS  
 CN Benzamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-2-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 201155-43-3 CAPLUS  
 CN Benzamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-4-fluoro- (CA INDEX NAME)

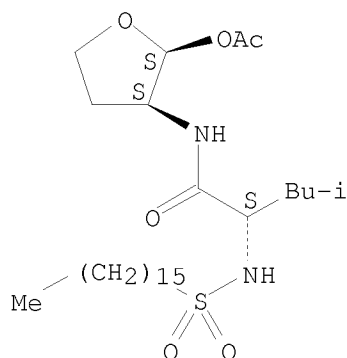
Absolute stereochemistry.



RN 201155-44-4 CAPLUS  
 CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[(hexadecylsulfonyl)amino]-4-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

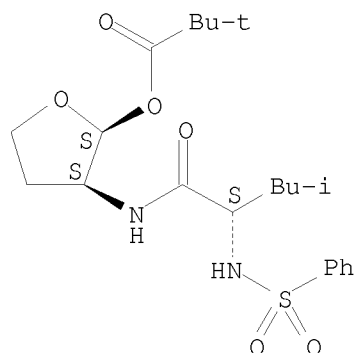




RN 201155-45-5 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, (2S,3S)-tetrahydro-3-[[ (2S)-4-methyl-1-oxo-2-[(phenylsulfonyl)amino]pentyl]amino]-2-furanyl ester (CA INDEX NAME)

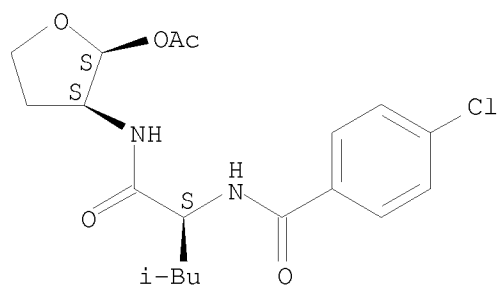
Absolute stereochemistry.



RN 201155-46-6 CAPLUS

CN Benzamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-4-chloro- (CA INDEX NAME)

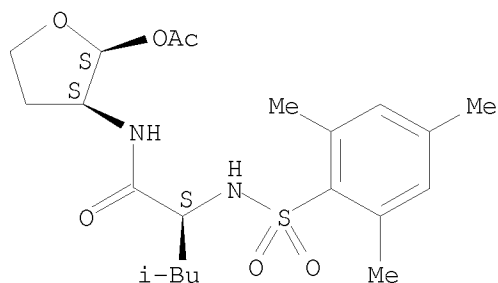
Absolute stereochemistry.



RN 201155-47-7 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[[[(2,4,6-trimethylphenyl)sulfonyl]amino]-, (2S)- (CA INDEX NAME)

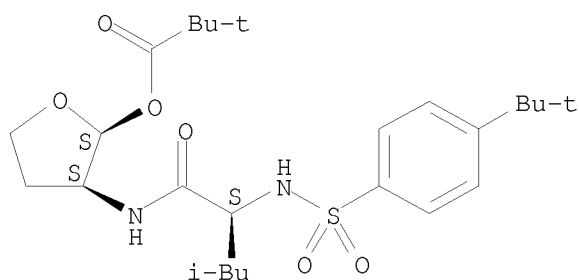
Absolute stereochemistry.



RN 201155-48-8 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, (2S,3S)-3-[[[(2S)-2-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-4-methyl-1-oxopentyl]amino]tetrahydro-2-furanyl ester (CA INDEX NAME)

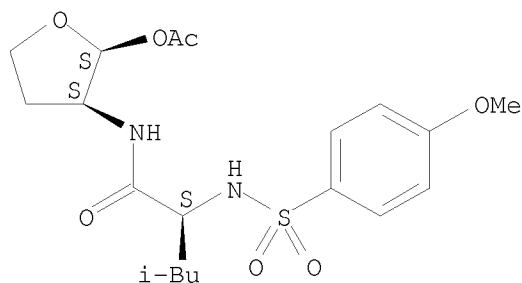
Absolute stereochemistry.



RN 201155-49-9 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-2-[[[4-methoxyphenyl]sulfonyl]amino]-4-methyl-, (2S)- (CA INDEX NAME)

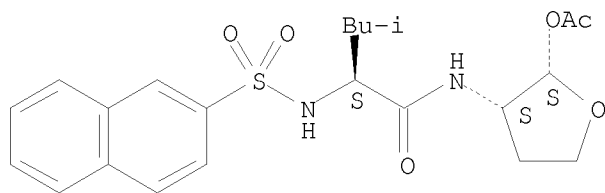
Absolute stereochemistry.



RN 201155-50-2 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

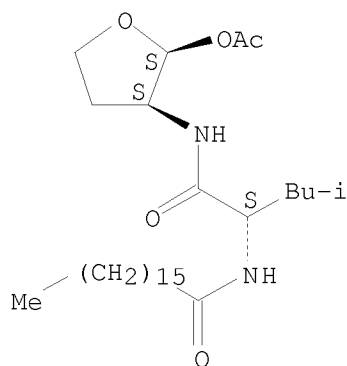
Absolute stereochemistry.



RN 201155-52-4 CAPLUS

CN Heptadecanamide, N-[(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]- (CA INDEX NAME)

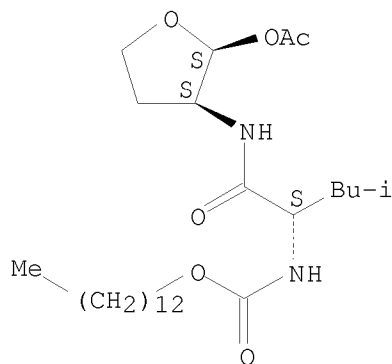
Absolute stereochemistry.



RN 201155-54-6 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, tridecyl ester (9CI) (CA INDEX NAME)

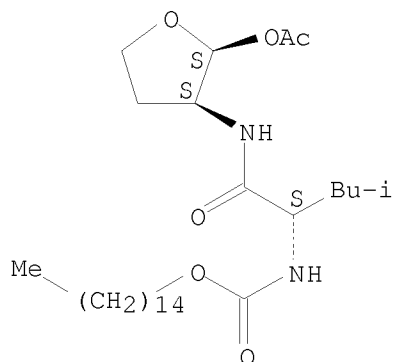
Absolute stereochemistry.



RN 201155-56-8 CAPLUS

CN Carbamic acid, [1-[[[2-(acetyloxy)tetrahydro-3-furanyl]amino]carbonyl]-3-methylbutyl]-, pentadecyl ester, [2S-[2α,3α(R\*)]]- (9CI) (CA INDEX NAME)

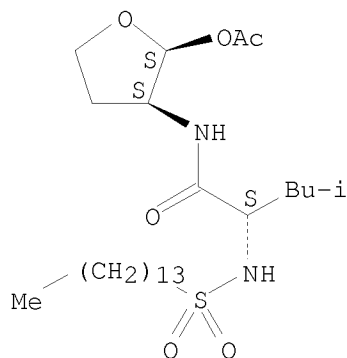
Absolute stereochemistry.



RN 201155-58-0 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(tetradecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

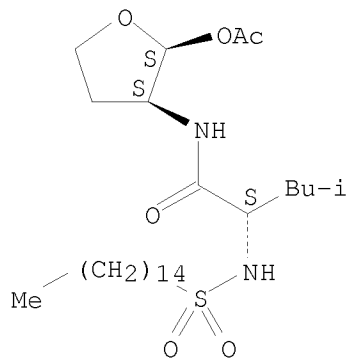
Absolute stereochemistry.



RN 201155-60-4 CAPLUS

CN Pentanamide, N-[(2S,3S)-2-(acetyloxy)tetrahydro-3-furanyl]-4-methyl-2-[(pentadecylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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	ENTRY	SESSION
FULL ESTIMATED COST	68.36	254.46
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-8.20	-8.20

FILE 'STNGUIDE' ENTERED AT 14:14:43 ON 04 FEB 2009  
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LAST RELOADED: Jan 30, 2009 (20090130/UP).

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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STN INTERNATIONAL LOGOFF AT 14:29:48 ON 04 FEB 2009